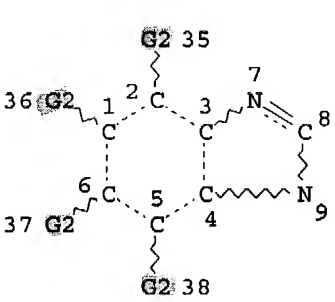
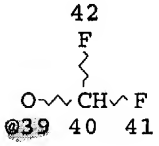
```
=> d que l19
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L2  (    205093)SEA FILE=REGISTRY ABB=ON  PLU=ON  NCNC2-C6/ES
L3         1272 SEA FILE=REGISTRY SUB=L2 SSS FUL L1
L5              STR
```

O=C~O~G1 (10 @11 12 13) O~Ak (@14 15) Ak @16 Cb @17 Ak~O~Ak (@18 19 20) Hy @48

Ak~O~Ak~O~Ak (@21 22 23 24 25) Ak~O~Ak~O~Ak~O~Ak (@26 27 28 29 30 31 32) Ak~X (@33 34)




O=C~Ph (43 @44 45) S~Ph (@46 47)

S~CH2-CH2-CH3 (@49 50 51 52) Cb~Cb (@53 54) SO2-C~Hy (@55 56 57) C~Cb~F (@58 59 60) Ak~Cl (@64 65)

CH2-CH=CH2 (@61 62 63)

← esters $\overset{O}{\overset{\|}{C}}-O-R^4$

```
VAR G1=H/14/33/16/17/18/21/26
VAR G2=H/11/OH/NH2/CL/39/44/46/48/49/53/55/58/61/64
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  15
CONNECT IS E1  RC AT  16
CONNECT IS E1  RC AT  17
CONNECT IS E2  RC AT  18
CONNECT IS E1  RC AT  20
CONNECT IS E2  RC AT  21
CONNECT IS E2  RC AT  23
CONNECT IS E1  RC AT  25
CONNECT IS E2  RC AT  26
CONNECT IS E2  RC AT  28
CONNECT IS E2  RC AT  30
CONNECT IS E1  RC AT  32
CONNECT IS E1  RC AT  48
CONNECT IS E2  RC AT  53
CONNECT IS E1  RC AT  54
DEFAULT MLEVEL IS ATOM
```

← Non Hydrogen attachments E1 = exactly one

← E2 = exactly 2

```
GGCAT   IS UNS  AT  16
GGCAT   IS SAT  AT  17
GGCAT   IS MCY  UNS  AT  48
GGCAT   IS UNS  AT  53
GGCAT   IS UNS  AT  54
GGCAT   IS MCY  UNS  AT  57
GGCAT   IS MCY  UNS  AT  59
GGCAT   IS SAT  AT  64
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E5 C  E1 N  AT  48
ECOUNT  IS E6 C  AT  53
ECOUNT  IS E6 C  AT  54
ECOUNT  IS E5 C  E1 N  AT  57
ECOUNT  IS E6 C  AT  59
ECOUNT  IS E3 C  AT  64
```

generic descriptors

UNS = unsaturated
MCY = monocyclic
SAT = Saturated

Element count

```
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  65

STEREO ATTRIBUTES: NONE
L7          1189 SEA FILE=REGISTRY SUB=L3 SSS FUL L5
L8         11130 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L13       176206 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ANTITUMOR AGENTS+OLD/CT
L15         1577 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8(L)(BAC OR DMA OR PAC OR
                 PKT OR THU)/RL
L16           52 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15 AND L13
L18           14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 AND APOPTOSIS
L19           58 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L16 OR L18
```

over 11,000 references

Narrowing with index terms and Roles

Roles linking compounds being used therapeutica

at Freetext

```
=> d l19 ibib ab hitstr 1-58
```

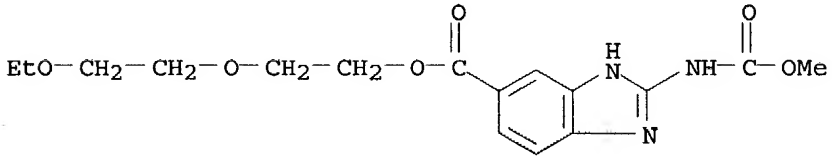
Printing indented bibliographic info. + abstract + hit structures

```
L19  ANSWER 1 OF 58  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2004:240413  HCAPLUS
DOCUMENT NUMBER:         140:270855
TITLE:                   Preparation of benzimidazolecarbamates for treatment
                         of cancer
INVENTOR(S):             Camden, James Berger; Agyin, Joseph K.; Quada, James
                         C., Jr.
PATENT ASSIGNEE(S):      UAF Technologies and Research, LLC, USA
SOURCE:                  U.S., 19 pp., Cont.-in-part of U.S. 6,506,783.
                         CODEN: USXXAM
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  7
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ----------------  ----  --------      ---------------  --------
     US 6710065         B1   20040323      US 2000-676031   20000929
     US 6506783         B1   20030114      US 1997-857811   19970516
     CN 1254282         A    20000524      CN 1997-182190   19971126
     US 6077862         A    20000620      US 1999-259969   19990301
     AU 763272          B2   20030717      AU 2001-37094    20010418
PRIORITY APPLN. INFO.:                  US 1997-857811   A2 19970516
                                        AU 1998-74027    A3 19971126
OTHER SOURCE(S):         MARPAT 140:270855
AB   Title compds. [I; R = CO2R1, CONR1R2, O2CR1, NHCOR1; R1 = alkyl,
```

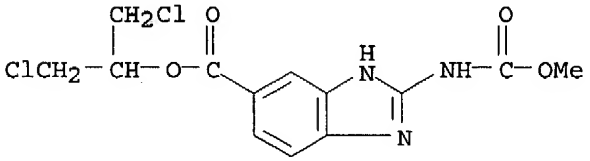
haloalkyl, hydroxyalkyl, alkenyl, haloalkenyl, cycloalkyl, heterocycloalkyl, (substituted) Ph, PhNH, PhCH2, alkoxyalkyl, hydroxyalkoxyalkyl, haloalkoxyalkyl, aminoalkyl, etc.; R2 = H, alkyl], were prepared Thus, Me 5-chlorocarbonyl-1H-benzimidazole-2-carbamate and 2-(2-ethoxyethoxy)ethanol were stirred together for 16 h at 23° and for 1 h at 40° to give 49.5% title compound (II). II showed IC50 = 0.084 μM against B16 murine melanoma cells.

IT **216148-85-5P 436810-15-0P 436810-21-8P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolecarbamates for treatment of cancer)

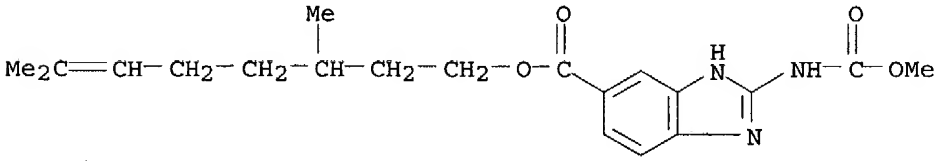
RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-15-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



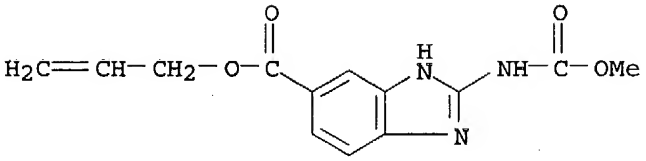
RN 436810-21-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



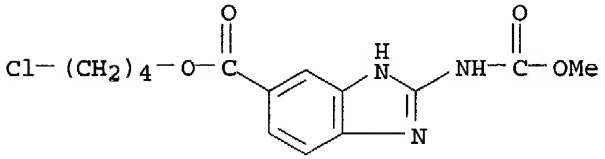
IT **135696-76-3 216148-83-3 216148-87-7 436810-12-7 436810-16-1 436810-17-2 436810-18-3 436810-19-4**
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(preparation of benzimidazolecarbamates for treatment of cancer)

RN 135696-76-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-,

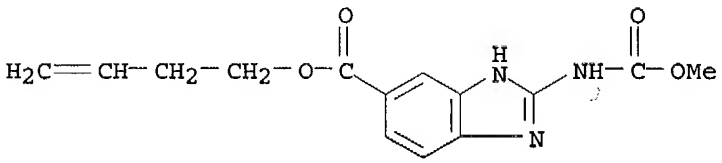
2-propenyl ester (9CI) (CA INDEX NAME)



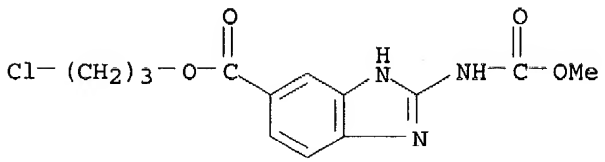
RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester (9CI) (CA INDEX NAME)



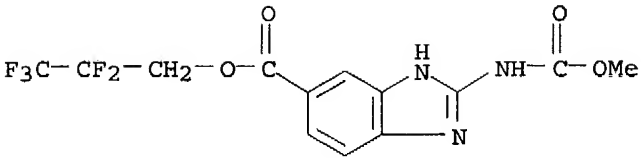
RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)



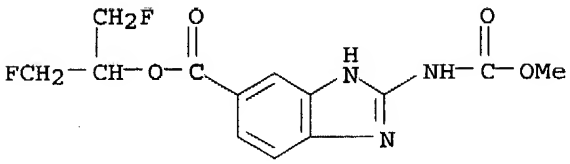
RN 436810-12-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



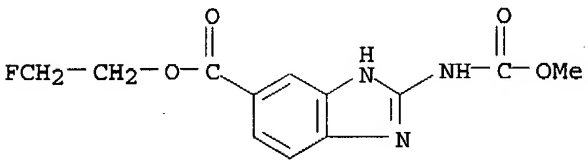
RN 436810-16-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester (9CI) (CA INDEX NAME)



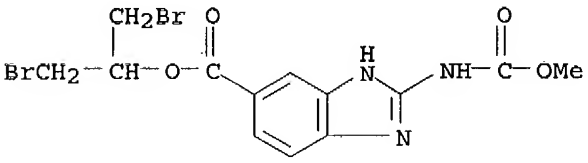
RN 436810-17-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-18-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



RN 436810-19-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-bromo-1-(bromomethyl)ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

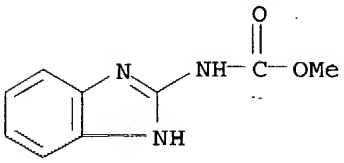
L19 ANSWER 2 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:81936 HCAPLUS
DOCUMENT NUMBER: 140:228344
TITLE: Discovering modes of action for therapeutic compounds using a genome-wide screen of yeast heterozygotes
AUTHOR(S): Lum, Pek Yee; Armour, Christopher D.; Stepaniants, Sergey B.; Cavet, Guy; Wolf, Maria K.; Butler, J. Scott; Hinshaw, Jerald C.; Garnier, Philippe; Prestwich, Glenn D.; Leonardson, Amy; Garrett-Engele,

Philip; Rush, Christopher M.; Bard, Martin; Schimmack, Greg; Phillips, John W.; Roberts, Christopher J.; Shoemaker, Daniel D.
CORPORATE SOURCE: Rosetta Inpharmatics LLC, Kirkland, WA, 98034, USA
SOURCE: Cell (Cambridge, MA, United States) (2004), 116(1), 121-137
CODEN: CELLB5; ISSN: 0092-8674
PUBLISHER: Cell Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Modern medicine faces the challenge of developing safer and more effective therapies to treat human diseases. Many drugs currently in use were discovered without knowledge of their underlying mol. mechanisms. Understanding their biol. targets and modes of action will be essential to design improved second-generation compds. Here, we describe the use of a genome-wide pool of tagged heterozygotes to assess the cellular effects of 78 compds. in Saccharomyces cerevisiae. Specifically, lanosterol synthase in the sterol biosynthetic pathway was identified as a target of the antianginal drug molsidomine, which may explain its cholesterol-lowering effects. Further, the rRNA processing exosome was identified as a potential target of the cell growth inhibitor 5-fluorouracil. This genome-wide screen validated previously characterized targets or helped identify potentially new modes of action for over half of the compds. tested, providing proof of this principle for analyzing the modes of action of clin. relevant compds.

IT **10605-21-7**
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(discovering modes of action for therapeutic compds. using a genome-wide screen of yeast heterozygotes)

RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60341 HCAPLUS
DOCUMENT NUMBER: 140:117406
TITLE: Liquid dosage compositions of stable nanoparticulate drugs
INVENTOR(S): Bosch, William H.; Hilborn, Matthew R.; Hovey, Douglas C.; Kline, Laura J.; Lee, Robert W.; Pruitt, John D.; Ryde, Niels P.; Ryde, Tuula A.; Xu, Shuqian
PATENT ASSIGNEE(S): Elan Pharma International, Ltd, Ire.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004006959 | A1 | 20040122 | WO 2003-US22187 | 20030716 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

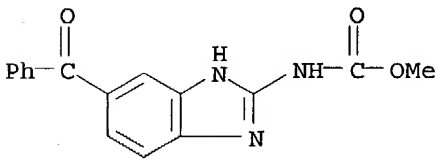
PRIORITY APPLN. INFO.: US 2002-396530P P 20020716

AB The present invention relates to liquid dosage compns. of stable nanoparticulate drugs. The liquid dosage compns. of the invention include osmotically active crystal growth inhibitors that stabilize the nanoparticulate active agents against crystal and particle size growth of the drug. Thus, an aqueous nanoparticulate colloidal dispersion (NCD) comprising drug 32.5 Copovidone 6.5, and dioctyl sodium sulfosuccinate 0.464% by weight was prepared by milling for 3.8 h under high energy milling conditions. The final mean particle size (by weight) of the drug particles was 161 nm. The concentrated NCD was then diluted with preserved water and glycerol (the osmotically active crystal growth inhibitor) to 0.5-3.0% drug.

IT **31431-39-7**, Mebendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(liquid dosage compns. of stable nanoparticulate drugs)

RN 31431-39-7 HCAPLUS

CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:60255 HCAPLUS

DOCUMENT NUMBER: 140:105258

TITLE: Benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms

INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.; Gaw, Debra A.

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA

SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004006849 | A2 | 20040122 | WO 2003-US21984 | 20030715 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

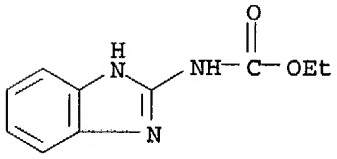
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-396151P P 20020715
OTHER SOURCE(S): MARPAT 140:105258

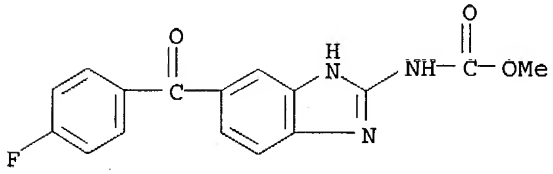
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

IT **6306-71-4**, Lobendazole **31430-15-6**, Flubendazole **31431-39-7**, Mebendazole **31431-39-7D**, Mebendazole, derivs. **43210-67-9**, Fenbendazole **53716-50-0**, Oxfendazole **54029-12-8**, Albendazole sulfoxide **54965-21-8**, Albendazole **54965-21-8D**, Albendazole, derivs. **75184-71-3**, Albendazole sulfone
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms)

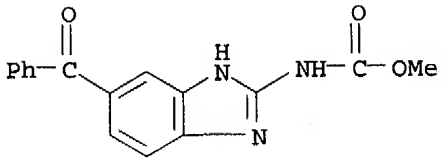
RN 6306-71-4 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, ethyl ester (9CI) (CA INDEX NAME)



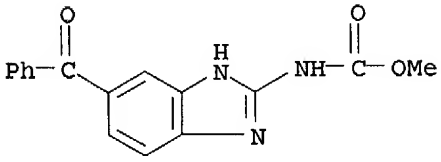
RN 31430-15-6 HCAPLUS
CN Carbamic acid, [5-(4-fluorobenzoyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



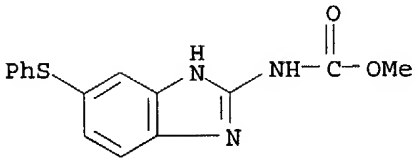
RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



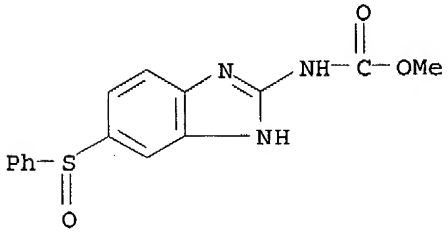
RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



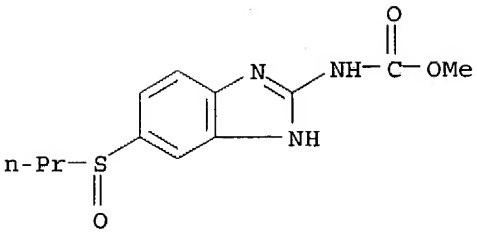
RN 43210-67-9 HCAPLUS
CN Carbamic acid, [5-(phenylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



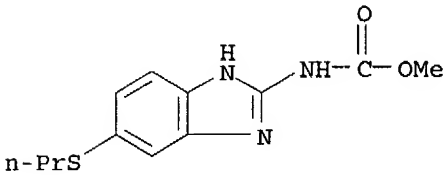
RN 53716-50-0 HCAPLUS
CN Carbamic acid, [5-(phenylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



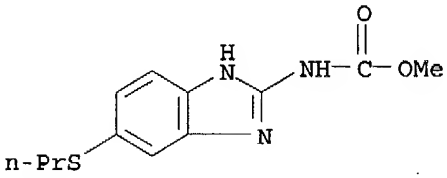
RN 54029-12-8 HCAPLUS
CN Carbamic acid, [5-(propylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



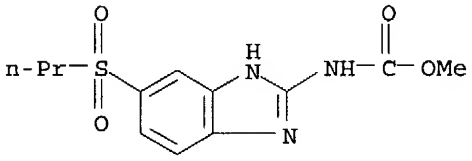
RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 75184-71-3 HCAPLUS
CN Carbamic acid, [5-(propylsulfonyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 5 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:41226 HCAPLUS
DOCUMENT NUMBER: 140:105321
TITLE: Methods and compositions relating to isoleucine boroproline compounds
INVENTOR(S): Adams, Sharlene; Miller, Glenn T.; Jesson, Michael I.; Jones, Barry
PATENT ASSIGNEE(S): Point Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 152 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004004658 | A2 | 20040115 | WO 2003-US21405 | 20030709 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004077601 | A1 | 20040422 | US 2003-616694 | 20030709 |

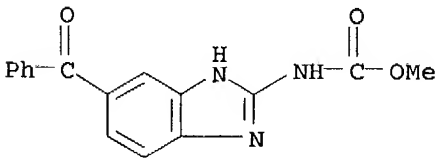
PRIORITY APPLN. INFO.:
US 2002-394856P P 20020709
US 2002-414978P P 20021001
US 2003-466435P P 20030428

OTHER SOURCE(S): MARPAT 140:105321

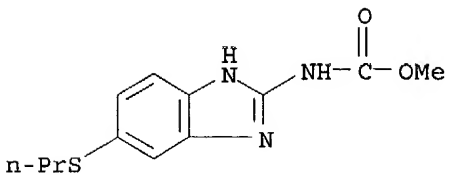
AB A method for treating subjects with, inter alia, abnormal cell proliferation or infectious disease using agents of formula (I, AmNHCH(CH(CH3)CH2CH3)COA1R) (where Am and A1 are amino acids and R = organo boronates, organo phosphonates, fluoroalkyl ketones, alphaketos, N-peptiolyl-O-(acylhydroxylamines), azapeptides, azetidines, fluoroolefins dipeptide isosteres, peptidyl (α-aminoalkyl) phosphonate esters, aminoacyl pyrrolidine-2-nitriles and 4-cyanothiazolidides) is claimed. Methods for stimulating an immune response using the compds. of the invention are also claimed. Compns. containing Ile-boroPro compds. are also provided as are kits containing the compns. The invention embraces the use of these compds. alone or in combination with other therapeutic agents.

IT **31431-39-7**, Mebendazole **54965-21-8**, Albendazole
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(therapeutic methods and compns. relating to isoleucine boroproline compds. alone or in combination with other drugs, antibodies, or antigens)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)




RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

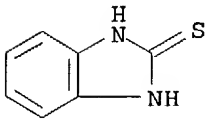


L19 ANSWER 6 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1013742 HCAPLUS
DOCUMENT NUMBER: 140:174678
TITLE: Triphenyl tin benzimidazolethiol, a novel antitumor agent, induces mitochondrial-mediated **apoptosis** in human cervical cancer cells via suppression of HPV-18 encoded E6
AUTHOR(S): Hoeti, Naseruddin; Ma, Jun; Tabassum, Sartaj; Wang, Yi; Wu, Mian
CORPORATE SOURCE: Department of Molecular and Cell Biology, Key Laboratory of Structural Biology, School of Life Sciences, University of Science and Technology of China, Hefei, 230027, Peop. Rep. China
SOURCE: Journal of Biochemistry (Tokyo, Japan) (2003), 134(4), 521-528
CODEN: JOBIAO; ISSN: 0021-924X
PUBLISHER: Japanese Biochemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Here we report the effect of TPT-benzimidazolethiol, a novel anti-tumor agent developed by our group, on the apoptotic pathway of human cervical carcinoma cells. Treatment of HeLa cells with TPT-benzimidazolethiol arrests the cell cycle at G0/G1 phase and transcriptionally downregulates HPV-encoded E6, restoring p53 expression from E6 suppression. Increased p53 accumulation up-regulates p21/waf and ultimately induces **apoptosis**. The effect of TPT-benzimidazolethiol is far more potent in inducing **apoptosis** than cisplatin. Treatment with TPT-benzimidazolethiol in HeLa cells is accompanied by the up-regulation of Bak at the transcriptional level, resulting in the release of cytochrome c and Smac/DIABLO from mitochondria to cytosol and, subsequently, the activation of procaspase-9, -3 and PARP, suggesting that TPT-benzimidazolethiol induced-**apoptosis** signaling is by an intrinsic mitochondrial pathway. Taken together, we propose that TPT-benzimidazolethiol could has the potential to be developed into a new therapeutic agent for treating HPV-associated cervical neoplasia.

IT **583-39-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(tri-Ph tin benzimidazolethiol, a novel antitumor agent, induces mitochondrial-mediated **apoptosis** in human cervical cancer cells via suppression of HPV-18 encoded E6)

RN 583-39-1 HCAPLUS
CN 2H-Benzimidazole-2-thione, 1,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:991486 HCAPLUS
DOCUMENT NUMBER: 140:27827
TITLE: Preparation of benzimidazole derivatives which inhibit the cytokine or biological activity of macrophage migration inhibitory factor (MIF)
INVENTOR(S): Morand, Eric Francis; Iskander, Magdy Naguib
PATENT ASSIGNEE(S): Cortical Pty. Ltd., Australia
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003104203 | A1 | 20031218 | WO 2003-AU717 | 20030606 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

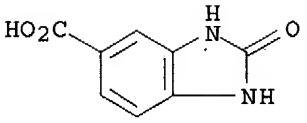
PRIORITY APPLN. INFO.: AU 2002-2832 A 20020607
AU 2002-2834 A 20020607

OTHER SOURCE(S): MARPAT 140:27827

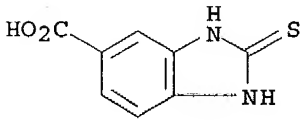
AB Title compds. I [X = O, S, alkyl, amino; Y = amino, O, S, alkyl; Z = CO, CS, imino, SO, SO2; R1 = H, alkyl, alkyloxy, etc.; R2 = alkyl, alkenyl, alkynyl, etc.; R3 = H, alkyl, alkylamino, alkylalkoxy, etc.; R4 = H, halo, alkyl, alkenyl, alkynyl, etc.] are prepared For instance, 3,4-diaminotoluene is reacted with urea (pentanol, reflux) to give 5-methylbenzimidazol-2-one (56%). Example compds. are inhibitors of the cytokine or biol. activity of macrophage migration inhibitory factor (MIF). I are useful for the treatment of Lyme disease, connective tissue diseases, etc.

IT **23814-14-4P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted benzimidazoles which inhibit the cytokine or biol. activity of macrophage migration inhibitory factor (MIF))

RN 23814-14-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



IT **58089-25-1P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzimidazoles which inhibit the cytokine or biol. activity of macrophage migration inhibitory factor (MIF))
RN 58089-25-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:972071 HCAPLUS
DOCUMENT NUMBER: 140:27837
TITLE: Preparation of 2-oxo-1,2,3,4-tetrahydroquinazolines as Cdk2 and Cdk5 kinase inhibitors for the treatment of cell proliferation-related disorders
INVENTOR(S): Huang, Qi; Kaller, Matthew; Nguyen, Thomas; Norman, Mark H.; Rzasa, Robert; Wang, Hui-Ling; Zhong, Wenge
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003101985 | A1 | 20031211 | WO 2003-US16941 | 20030529 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003229068 | A1 | 20031211 | US 2003-446440 | 20030527 |

PRIORITY APPLN. INFO.: US 2002-384265P P 20020529

US 2003-446440 A1 20030527

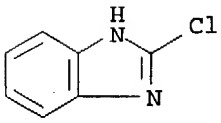
OTHER SOURCE(S): MARPAT 140:27837

AB Title compds. I [wherein Ar = G1 or G2; A = O or S; D, E, F, and G = independently CR1, CR2, CR3, CR4, or N; J, K, and L = independently NR6, S, O, CR1, CR2, CR3, or CR4; Q = H, OH, N(R5)2, NR5COR5, (CH2)mOR5, (CH2)mSOnR5, NR5aSO2R5, or (un)substituted (hetero)aryl, carbocyclyl, or heterocyclyl; W = (un)substituted heterocyclyl; Y and Z = independently H, N(R5a)2, SR5a, OR5a, or C(R5a)3; m = 1-8; n = 0-2; R1, R2, R3, and R5 = independently H, OR5, alkylenedioxy, halo(alkyl), alkenyl, alkynyl, N(R5)2, (CH2)mN(R5)2, SOnN(R5)2, SOnR5, (hydroxy)alkyl, NO2, CN, COR5, NR5SO2R5, CON(R5)2, CO2R5, NR5CON(R5)2, NR5COR5, NR5CO2R5, or (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl(alkyl); or R1R2, R2R3, R3R4 may form carbocyclic or heterocyclic rings; R5 = independently H, (halo)alkyl, or (un)substituted aryl(alkyl), heterocyclyl(alkyl), cycloalkyl(alkyl), etc.; R5a and R6 = independently absent, H, or alkyl; with provisos; and pharmaceutically acceptable salts thereof] are disclosed as serine/threonine kinase inhibitors for effective treatment of cell proliferation or **apoptosis**-mediated diseases (no data). The invention encompasses I and pharmaceutically acceptable derivs. thereof, pharmaceutical compns., and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer, and the like (no data). The invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For example, II was prepared in five steps by bromination of Me 2-methyl-3-nitrobenzoate, coupling with prop-2-enyl N-[2-(4-pyridyl)-1,3-thiazol-4-yl]carbamate, reduction to the amine, deprotection, and cyclization using p-nitrophenyl chloroformate in the presence of DMAP (no data for intermediates). The quinazolinone II exhibited Cdk2/cyclin and Cdk5/p25 kinase activity with IC50 values < 1 μM and inhibited cell proliferation of human PC-3 prostate cells, HCT 116 human colon carcinoma cells, or HT 29 human colon carcinoma cells with IC50 < 5 μM.

IT **4857-06-1**, 2-Chlorobenzimidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolines as Cdk2 and Cdk5 kinase inhibitors for treatment of cell proliferation-related disorders)

RN 4857-06-1 HCAPLUS

CN 1H-Benzimidazole, 2-chloro- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:931119 HCAPLUS

DOCUMENT NUMBER: 140:5041

TITLE: Preparation of substituted 4H-chromenes, 2H-chromenes, chromans and analogs as activators of caspases and inducers of **apoptosis** and their uses against cancer and other disorders

INVENTOR(S): Cai, Sui Xiong; Jiang, Songchun; Attardo, Giorgio; Denis, Real; Storer, Richard; Rej, Rabindra

PATENT ASSIGNEE(S): Cytovia, Inc., USA; Shire Biochem, Inc.

SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003096982 | A2 | 20031127 | WO 2003-US15432 | 20030516 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

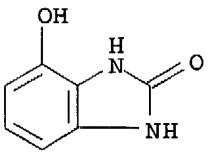
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-378043P P 20020516
OTHER SOURCE(S): MARPAT 140:5041

AB The present invention is directed to substituted 4H-chromenes, 2H-chromenes, chromans and analogs thereof (shown as I; variables defined below; e.g. II). The present invention also relates to the discovery that compds. I are activators of caspases and inducers of **apoptosis**. Therefore, I can be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. The ability to activate the caspase cascade and induce **apoptosis** in human breast cancer cell lines T-47D and ZR-75-1 was measured for .apprx.30 examples of I, e.g. EC50 (nM) = 2.7 and 2.2, resp., for II. Although the methods of preparation are not claimed, .apprx.30 example prepns. are included. For I: X is O, S or NR6, wherein R6 is H or (un)substituted alkyl; Y is H, halogen, CN, COR7, CO2R7 or CONRxRy, wherein R7, Rx and Ry = H, C1-10-alkyl, haloalkyl, aryl, fused aryl, carbocyclic, a heterocyclic group, a heteroaryl group, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl or aminoalkyl; or Rx and Ry are taken together with the N to which they are attached to form a heterocycle. Z is H, OH, OR8, OCOR8, wherein R8 is H, C1-10 alkyl, haloalkyl, aryl, fused aryl, carbocyclic, a heterocyclic group, a heteroaryl group, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl or aminoalkyl, when the dotted line between C atoms bonded to groups Y and Z is not present Z can be dialkyl. R5 is H or C1-10-alkyl; A is (un)substituted and is aryl, heteroaryl, saturated carbocyclic, partially saturated carbocyclic, saturated heterocyclic, partially saturated heterocyclic, arylalkyl or heteroarylalkyl; B is an (un)substituted aromatic or heteroarom. ring; and the dotted lines are single or double bonds, provided that both sets of dotted lines cannot be double bonds at the same time and R5 is not present when the dotted line between C atoms bonded to groups A and Y is a double bond.

IT **69053-50-5P**, 4-Hydroxy-1,3-dihydrobenzimidazol-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted chromenes, chromans and analogs as activators of caspases and inducers of **apoptosis** and their uses against cancer and other disorders)

RN 69053-50-5 HCAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-4-hydroxy- (9CI) (CA INDEX NAME)




L19 ANSWER 10 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:334375 HCAPLUS
DOCUMENT NUMBER: 138:343878
TITLE: Buccal sprays or capsules containing drugs for treating an infectious disease or cancer
INVENTOR(S): Dugger, Harry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 537,118.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2003082107 | A1 | 20030501 | US 2002-230080 | 20020829 |
| WO 9916417 | A1 | 19990408 | WO 1997-US17899 | 19971001 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| EP 1029536 | A1 | 20000823 | EP 2000-109347 | 19971001 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EP 1036561 | A1 | 20000920 | EP 2000-109357 | 19971001 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| WO 2004019912 | A2 | 20040311 | WO 2003-US26860 | 20030827 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

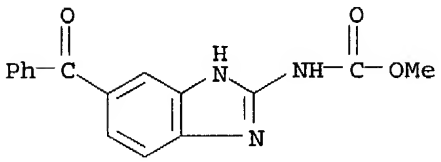
PRIORITY APPLN. INFO.: WO 1997-US17899 A2 19971001

US 2000-537118 A2 20000329
EP 1997-911621 A3 19971001
US 2002-230080 A 20020829

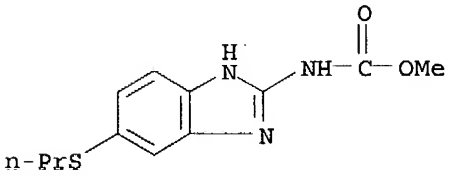
AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent, active compound, and optional flavoring agent; formulation B: aqueous polar solvent, active compound, optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compound, and optional flavoring agent; and formulation D: non-polar solvent, active compound, optional flavoring agent, and propellant. Thus, a polar lingual spray contained albuterol sulfate 0.1-10, water 5-90, ethanol 1-10, sorbitol 0.1-5, aspartame 0.01-0.5, and flavors 0.1-5%.

IT **31431-39-7**, Mebendazole **54965-21-8**, Albendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(buccal sprays or capsules containing drugs for treating an infectious disease or cancer)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 11 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:273626 HCAPLUS
DOCUMENT NUMBER: 139:285852
TITLE: Organoammonium hydroselenites: antitumor action through radical balance regulation
AUTHOR(S): Arsenyan, Pavel; Shestakova, Irina; Rubina, Kira; Domracheva, Ilona; Nesterova, Alena; Vosele, Kristina; Pudova, Olga; Lukevics, Edmunds
CORPORATE SOURCE: Latvian Institute of Organic Synthesis, Riga, LV-1006, Latvia
SOURCE: European Journal of Pharmacology (2003), 465(3), 229-235
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal
LANGUAGE: English

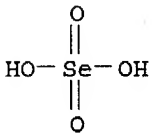
AB Organoammonium hydroselenites were synthesized and investigated as potential selective, anticancer prodrugs. These compds. were studied in vitro on human fibrosarcoma (HT-1080), hamster kidney endothelial (BHK 21) and normal mouse embryonic fibroblasts (NIH 3T3). Most of them were very active against HT-1080 (0.6-5.3 g/mL). Amino acid hydroselenites readily increased the nitric oxide (NO) concentration in the culture medium of HT-1080 cells (up to TG100=1500%); however, 4-amidohydroximinomethylpyridinium hydroselenite (TG100=24%) and o-phenanthrolinium hydroselenite (TG100=50%) were free radical inhibitors. All compds. were glutathione peroxidase inhibitors; some of them could also prevent hydrogen peroxide degradation by inhibition of catalase. The influence of the investigated ammonium hydroselenites on tumor cell (HT-1080) morphol. was examined The substances studied were also active in vivo against sarcoma S-180. The role of organoammonium hydroselenites as free radical regulators and their therapeutic antitumor are discussed.

IT **609854-74-2**
RL: **PAC (Pharmacological activity); THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(organoammonium hydroselenites, antitumor action through radical balance regulation)

RN 609854-74-2 HCAPLUS
CN Selenic acid, compd. with 1,3-dihydro-2H-benzimidazole-2-thione (1:1) (9CI) (CA INDEX NAME)

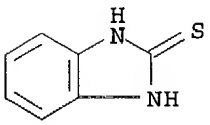
CM 1

CRN 7783-08-6
CMF H2 O4 Se



CM 2

CRN 583-39-1
CMF C7 H6 N2 S



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:261643 HCAPLUS
DOCUMENT NUMBER: 138:260506

TITLE: Granules having improved dosing properties
INVENTOR(S): Murai, Kouji; Uchida, Akihiro; Aimoto, Masaharu; Kato, Yasuki
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003026619 | A1 | 20030403 | WO 2002-JP9910 | 20020926 |

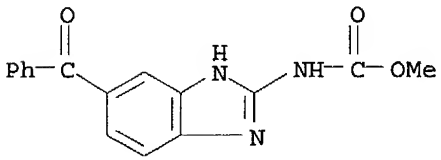
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-295143 A 20010926

AB It is intended to provide granules having relieved coarseness in the oral cavity in dosing, characterized by containing an active ingredient which is hardly soluble in water or saliva and a component which is converted into a viscous liquid upon the addition of water. Oxatomide 2, hydroxypropyl Me cellulose 0.5, hydroxypropyl starch 5.5, and mannitol 91.5 g were mixed and kneaded in 15 mL water. The mixture was granulated and dried.

IT **31431-39-7**, Mebendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(granules containing hardly water-soluble drugs and viscous liquid-forming agents to improve dosing properties)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154224 HCAPLUS
DOCUMENT NUMBER: 138:193294
TITLE: Expandable gastric retention device containing pharmaceutical compositions
INVENTOR(S): Ayres, James W.
PATENT ASSIGNEE(S): The State of Oregon Acting by and Through the State

Board of Higher Education On Behalf of Oregon State University, USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

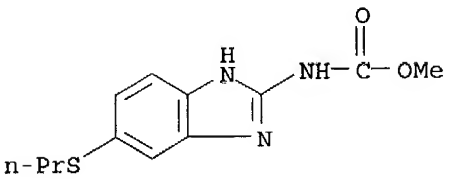
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003015745 | A1 | 20030227 | WO 2001-US46146 | 20011022 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1416914 | A1 | 20040512 | EP 2001-995328 | 20011022 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.: US 2001-313078P P 20010816
WO 2001-US46146 W 20011022

AB The present application concerns gastric retention devices formed from compns. comprising polymeric materials, such as polysaccharides, and optional addnl. materials including excipients, therapeutics, and diagnostics, that reside in the stomach for a controlled and prolonged period of time. Dry powders of xanthan gum and locust bean gum were mixed intimately were converted to dried films. The dried films were compressed with the help of specially made punches and dies. A series of dies with decreasingly narrow internal diams. were used. A punch pushes the film from one die into the next die, followed by pushing of the film by another punch into the next die. This process takes place in succession until a point is reached where the film is small enough to put into a desired capsule size.

IT **54965-21-8**, Albendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(expandable gastric retention device containing pharmaceutical compns.)

RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

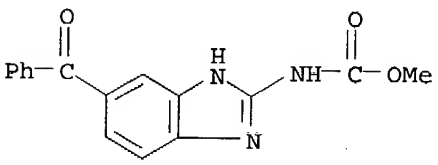
L19 ANSWER 14 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:69732 HCAPLUS

DOCUMENT NUMBER: 139:30325
TITLE: The anthelmintic drug mebendazole induces mitotic arrest and **apoptosis** by depolymerizing tubulin in non-small cell lung cancer cells
AUTHOR(S): Sasaki, Ji-ichiro; Ramesh, Rajagopal; Chada, Sunil; Gomyo, Yoshihito; Roth, Jack A.; Mukhopadhyay, Tapas
CORPORATE SOURCE: Section of Thoracic Molecular Oncology, Departments of Thoracic and Cardiovascular Surgery, The University of Texas M. D. Anderson Cancer Center, Houston, TX, 77030, USA
SOURCE: Molecular Cancer Therapeutics (2002), 1(13), 1201-1209
CODEN: MCTOCF; ISSN: 1535-7163
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Microtubules have a critical role in cell division, and consequently various microtubule inhibitors have been developed as anticancer drugs. In this study, we assess mebendazole (MZ), a microtubule-disrupting anthelmintic that exhibits a potent antitumor property both in vitro and in vivo. Treatment of lung cancer cell lines with MZ caused mitotic arrest, followed by apoptotic cell death with the feature of caspase activation and cytochrome c release. MZ induces abnormal spindle formation in mitotic cancer cells and enhances the depolymn. of tubulin, but the efficacy of depolymn. by MZ is lower than that by nocodazole. Oral administration of MZ in mice elicited a strong antitumor effect in a s.c. model and reduced lung colonies in exptl. induced lung metastasis without any toxicity when compared with paclitaxel-treated mice. We speculate that tumor cells may be defective in one mitotic checkpoint function and sensitive to the spindle inhibitor MZ. Abnormal spindle formation may be the key factor determining whether a cell undergoes **apoptosis**, whereas strong microtubule inhibitors elicit toxicity even in normal cells.

IT **31431-39-7**, Mebendazole
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(anthelmintic drug mebendazole induces mitotic arrest and **apoptosis** by depolymg. tubulin in non-small cell lung cancer cells)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:889200 HCAPLUS
DOCUMENT NUMBER: 137:370090
TITLE: Preparation of benzimidazolecarbamates for treatment of cancer or viral infections
INVENTOR(S): Quada, James C., Jr.; Agyin, Joseph K.; Camden, James

Berger
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S., 20 pp., Cont.-in-part of U.S. Ser. No. 857,811.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

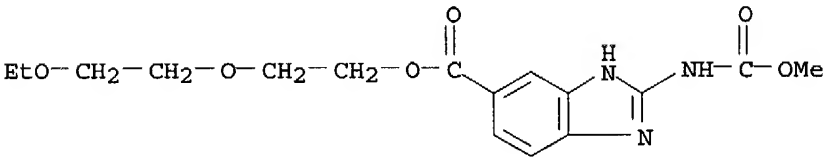
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6482843 | B1 | 20021119 | US 2000-676407 | 20000929 |
| US 6506783 | B1 | 20030114 | US 1997-857811 | 19970516 |
| CN 1254282 | A | 20000524 | CN 1997-182190 | 19971126 |
| US 6077862 | A | 20000620 | US 1999-259969 | 19990301 |
| AU 763272 | B2 | 20030717 | AU 2001-37094 | 20010418 |

PRIORITY APPLN. INFO.: US 1997-857811 A2 19970516
AU 1998-74027 A3 19971126
OTHER SOURCE(S): MARPAT 137:370090

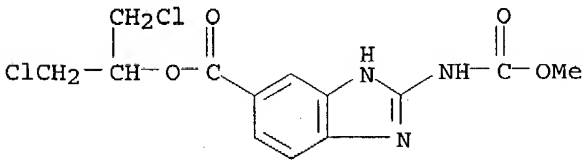
AB Title compds., e.g. [I; R = O2CR1; R1 = alkyl, haloalkyl, hydroxyalkyl, alkenyl, haloalkenyl, cycloalkyl, cycloalkylalkyl, heterocyhcloalkyl, heterocycloalkyl, (substituted) Ph, PhNH, PhCH2, etc.], were prepared Thus, Me 2-amino-5-hydroxybenzimidazole carbamate and 3,5,5-trimethylhexanoyl chloride were stirred in THF at 23-40° to give I (R = O2CCH2CHMeCH2CMe3). The latter inhibited human colon carcinoma with IC50 = 15.8 μM.

IT **216148-85-5P 436810-15-0P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolecarbamates for treatment of cancer or viral infections)

RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



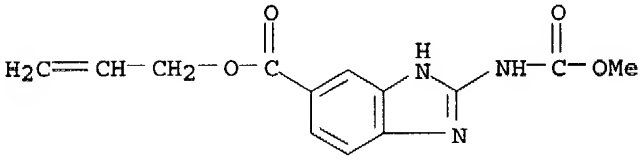
RN 436810-15-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



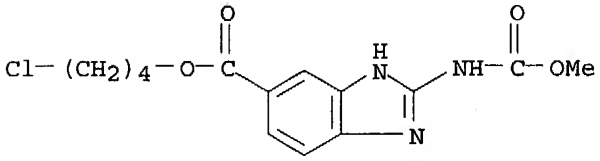
IT **135696-76-3 216148-83-3 216148-87-7**

**436810-12-7 436810-16-1 436810-17-2**
**436810-18-3 436810-19-4 436810-21-8**
RL: **PAC (Pharmacological activity); THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(preparation of benzimidazolecarbamates for treatment of cancer or viral infections)

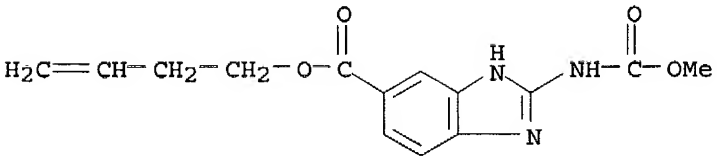
RN 135696-76-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)



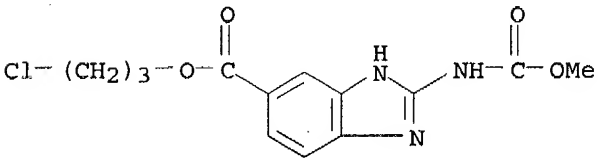
RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester (9CI) (CA INDEX NAME)



RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)

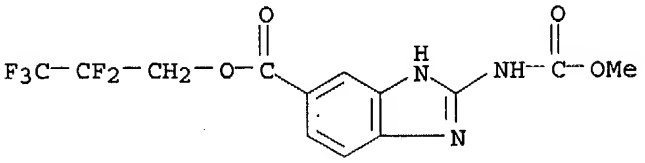


RN 436810-12-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)

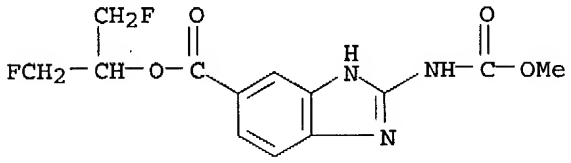


RN 436810-16-1 HCAPLUS

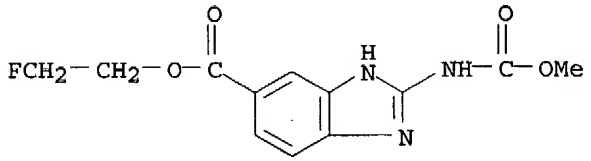
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester (9CI) (CA INDEX NAME)



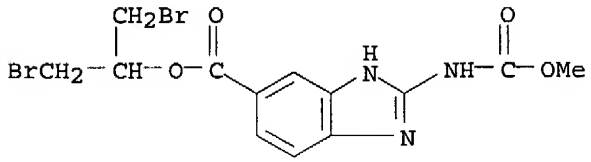
RN 436810-17-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester (9CI) (CA INDEX NAME)



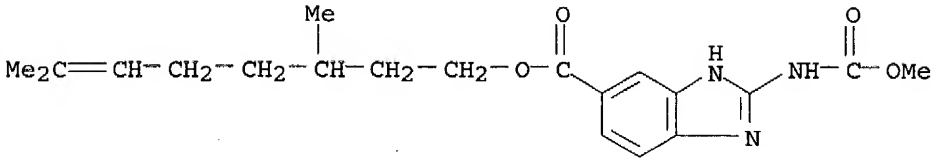
RN 436810-18-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



RN 436810-19-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-bromo-1-(bromomethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-21-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



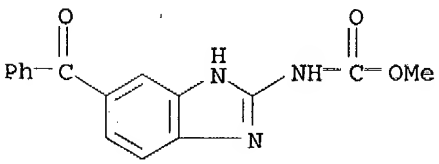
REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 16 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:781148 HCAPLUS
DOCUMENT NUMBER: 138:331299
TITLE: Mebendazole elicits a potent antitumor effect on human cancer cell lines both in vitro and in vivo
AUTHOR(S): Mukhopadhyay, Tapas; Sasaki, Ji-ichiro; Ramesh, Rajagopal; Roth, Jack A.
CORPORATE SOURCE: Department of Thoracic and Cardiovascular Surgery, The University of Texas M. D. Anderson Cancer Center, Houston, TX, 77030, USA
SOURCE: Clinical Cancer Research (2002), 8(9), 2963-2969
CODEN: CCREF4; ISSN: 1078-0432
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have found that mebendazole (MZ), a derivative of benzimidazole, induces a dose- and time-dependent apoptotic response in human lung cancer cell lines. In this study, MZ arrested, cells at the G2-M phase before the onset of **apoptosis**, as detected by using fluorescence-activated cell sorter anal. MZ treatment also resulted in mitochondrial cytochrome c release, followed by apoptotic cell death. Addnl., MZ appeared to be a potent inhibitor of tumor cell growth with little toxicity to normal WI38 and human umbilical vein endothelial cells. When administered p.o. to nu/nu mice, MZ strongly inhibited the growth of human tumor xenografts and significantly reduced the number and size of tumors in an exptl. model of lung metastasis. In assessing angiogenesis, the authors found significantly reduced vessel densities in MZ-treated mice compared with those in control mice. These results suggest that MZ is effective in the treatment of cancer and other angiogenesis-dependent diseases.

IT **31431-39-7**, Mebendazole
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(mebendazole elicits potent antitumor effect on human cancer cell lines both in vitro and in vivo and mechanisms involved)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 17 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:754210 HCAPLUS
DOCUMENT NUMBER: 137:273177
TITLE: Method for treatment of cancer and compositions for use therein
INVENTOR(S): Morris, David Lawrence; Pourgholami, Mohammad Hossein
PATENT ASSIGNEE(S): Unisearch Limited, Australia
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002076454 | A1 | 20021003 | WO 2002-AU339 | 20020320 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1379242 | A1 | 20040114 | EP 2002-713920 | 20020320 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

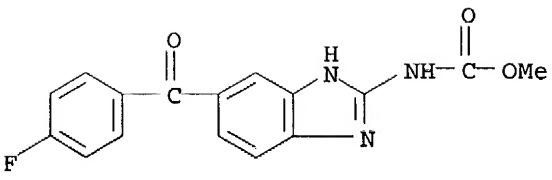
PRIORITY APPLN. INFO.: US 2001-278435P P 20010326
CA 2001-2342472 A 20010330
WO 2002-AU339 W 20020320

OTHER SOURCE(S): MARPAT 137:273177

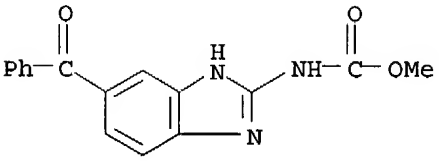
AB The invention discloses the use of compound I [R1 = H, alkyl, alkenyl, alkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenylalkyl, aryl etc. ; R2 = H, alkyl; R3 = H, alkyl, alkenyl, alkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenylalkyl, aryl, arylalkyl etc.] for the treatment of a tumor in a subject.

IT **31430-15-6**, Flubendazole **31431-39-7**, Mebendazole **43210-67-9**, Fenbendazole **53716-50-0**, Oxfendazole **54029-12-8**, Albendazole sulfoxide **54965-21-8**, Albendazole **54965-21-8D**, Albendazole, analogs and metabolites
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(treatment of cancer and compns. for use therein)

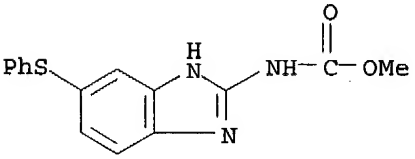
RN 31430-15-6 HCAPLUS
CN Carbamic acid, [5-(4-fluorobenzoyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



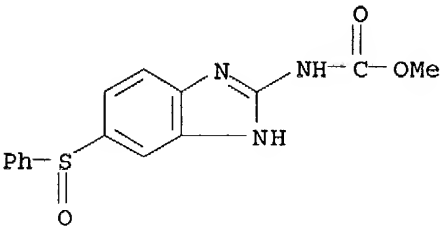
RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



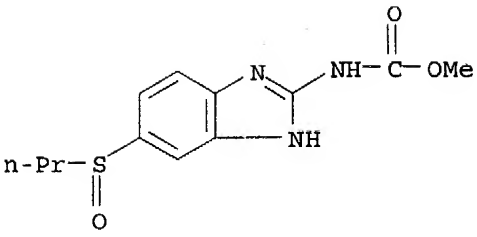
RN 43210-67-9 HCAPLUS
CN Carbamic acid, [5-(phenylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



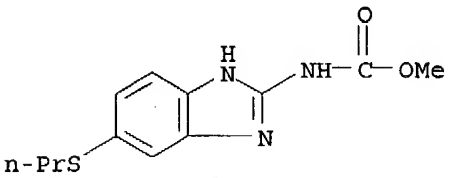
RN 53716-50-0 HCAPLUS
CN Carbamic acid, [5-(phenylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



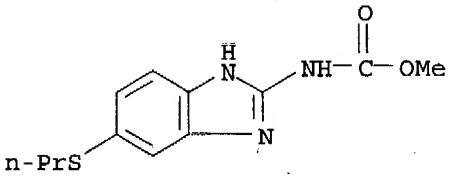
RN 54029-12-8 HCAPLUS
CN Carbamic acid, [5-(propylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 18 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:675835 HCAPLUS
DOCUMENT NUMBER: 137:195559
TITLE: Antihelminthic drugs as a treatment for hyperproliferative diseases
INVENTOR(S): Mukhopadhyay, Tapas; Chada, Sunil; Mhashilkar, Abner; Roth, Jack A.
PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002067932 | A1 | 20020906 | WO 2002-US756 | 20020109 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

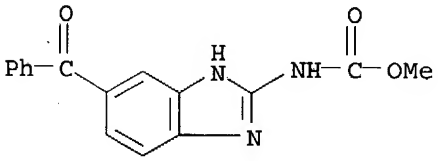
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-261346P P 20010111
OTHER SOURCE(S): MARPAT 137:195559

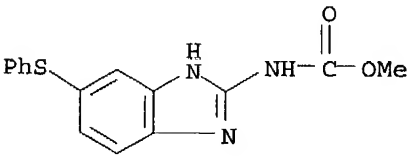
AB The present invention is directed to the use of benzimidazole derivs. for the treatment of tumors and in combination with tumor suppressor gene therapy. In a particular embodiment, treatment of p53-pos. tumors with benzimidazole derivs. induces p53 expression and increases its half-life, resulting in apoptotic death of the tumor cells. Similarly, in conjunction with p53 gene therapy, benzimidazole derivs. induce p53 expression and accumulation in tumor cells regardless of their p53 status. The combination treatment subsequently elicits **apoptosis** of the tumor cells.

IT **31431-39-7**, Mebendazole **43210-67-9**, Fenbendazole
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole derivs. for treatment for hyperproliferative diseases)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



RN 43210-67-9 HCAPLUS
CN Carbamic acid, [5-(phenylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 19 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:574927 HCAPLUS
DOCUMENT NUMBER: 137:119655
TITLE: Combinations of drugs (e.g., a benzimidazole and pentamidine) for the treatment of neoplastic disorders
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002058697 | A1 | 20020801 | WO 2002-US1707 | 20020122 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002165261 | A1 | 20021107 | US 2001-768870 | 20010124 |
| US 6693125 | B2 | 20040217 | | |
| EP 1363625 | A1 | 20031126 | EP 2002-709117 | 20020122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004063769 | A1 | 20040401 | US 2003-677664 | 20031002 |

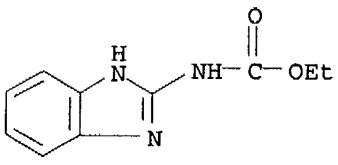
PRIORITY APPLN. INFO.: US 2001-768870 A1 20010124
WO 2002-US1707 W 20020122

OTHER SOURCE(S): MARPAT 137:119655

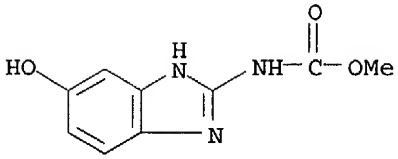
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (1) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

IT **6306-71-4**, Lobendazole **22769-68-2** **31430-15-6**, Flubendazole **31431-39-7**, Mebendazole **43210-67-9**, Fenbendazole **53716-50-0**, Oxfendazole **54029-12-8**, Albendazole sulfoxide **54965-21-8**, Albendazole **75184-71-3**, Albendazole sulfone
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(drug combinations for treatment of neoplastic disorders)

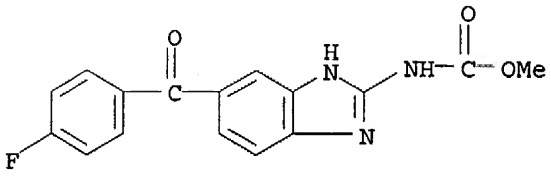
RN 6306-71-4 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, ethyl ester (9CI) (CA INDEX NAME)



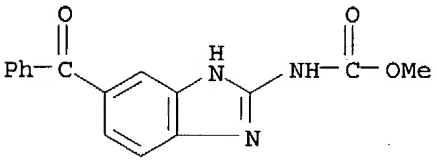

RN 22769-68-2 HCAPLUS
CN Carbamic acid, (5-hydroxy-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



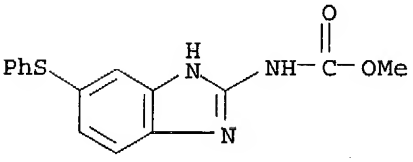
RN 31430-15-6 HCAPLUS
CN Carbamic acid, [5-(4-fluorobenzoyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



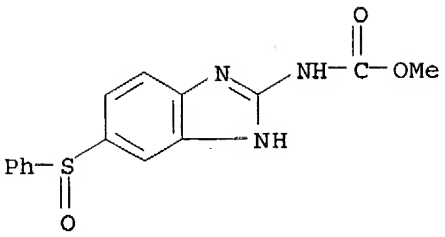
RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



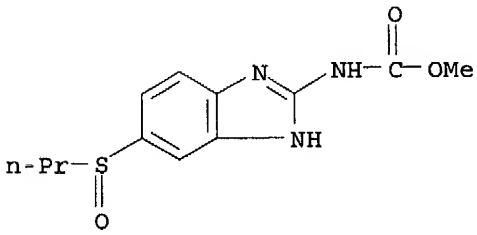
RN 43210-67-9 HCAPLUS
CN Carbamic acid, [5-(phenylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



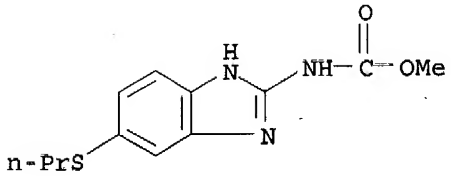
RN 53716-50-0 HCAPLUS
CN Carbamic acid, [5-(phenylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



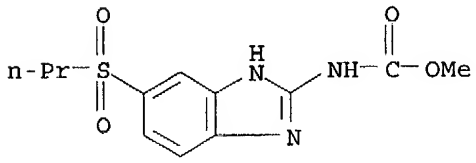
RN 54029-12-8 HCAPLUS
CN Carbamic acid, [5-(propylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 75184-71-3 HCAPLUS
CN Carbamic acid, [5-(propylsulfonyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 20 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:551611 HCAPLUS
DOCUMENT NUMBER: 137:109276
TITLE: Preparation of methyl 1H-benzimidazole-2-carbamates for treating cancer or viral infections

INVENTOR(S): Camden, James Berger; Agyin, Joseph K.; Quada, James C., Jr.
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S., 19 pp., Cont. of U.S. Ser. No. 857,811., CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

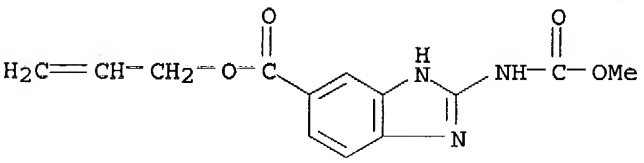
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6423736 | B1 | 20020723 | US 2000-676409 | 20000929 |
| US 6506783 | B1 | 20030114 | US 1997-857811 | 19970516 |
| CN 1254282 | A | 20000524 | CN 1997-182190 | 19971126 |
| US 6077862 | A | 20000620 | US 1999-259969 | 19990301 |
| AU 763272 | B2 | 20030717 | AU 2001-37094 | 20010418 |

PRIORITY APPLN. INFO.: US 1997-857811 A2 19970516
AU 1998-74027 A3 19971126
OTHER SOURCE(S): MARPAT 137:109276

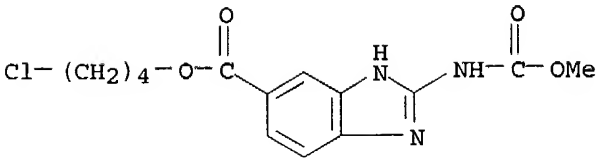
AB The title compds. [I (R = OCORa; Ra = (un)substituted Ph), II (R = CONR1R2, CO2R1, OCOR1, NHCOR1; R1 = alkyl, haloalkyl, cycloalkyl, etc.; R2 = H, alkyl)] were prepared Thus, reacting Me 2-amino-5-hydroxybenzimidazolecarbamate with 3,5,5-trimethylhexanoyl chloride in THF afforded 57% I [R = OCOCH2CHMeCH2CMe3] which showed IC50 of 20.1 μM and IC50 of 15.8 μM for growth inhibition of B16 murine melanoma cells and H29 human colon cancer cells, resp. Such compds. I may be used in combination with a chemotherapeutic agent and/or a potentiator.

IT **135696-76-3P 216148-83-3P 216148-85-5P 216148-87-7P 436810-12-7P 436810-15-0P 436810-16-1P 436810-17-2P 436810-18-3P 436810-19-4P 436810-21-8P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Me benzimidazole-2-carbamates for treating cancer or viral infections)

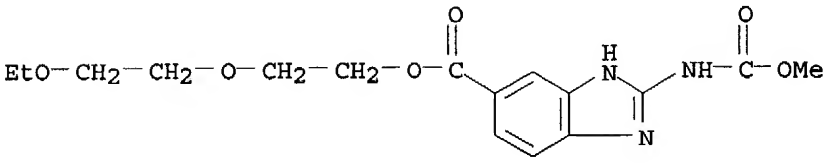
RN 135696-76-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)



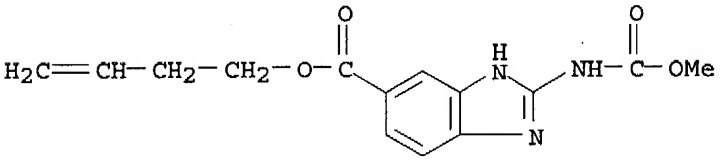

RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester (9CI) (CA INDEX NAME)



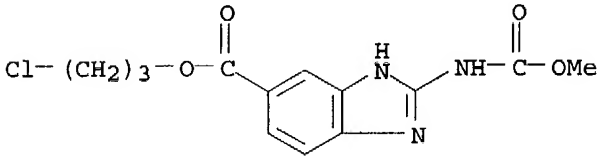
RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



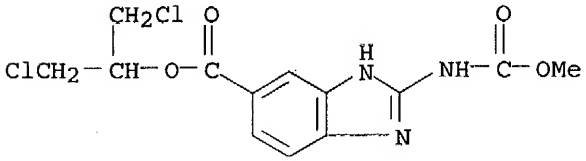
RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)



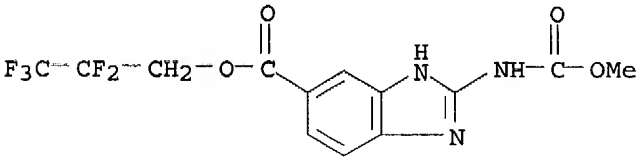
RN 436810-12-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



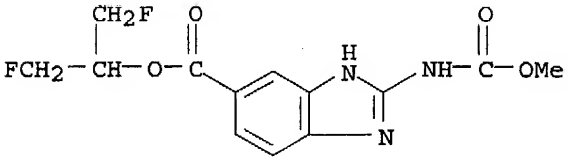
RN 436810-15-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



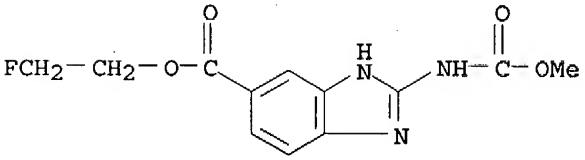
RN 436810-16-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester (9CI) (CA INDEX NAME)



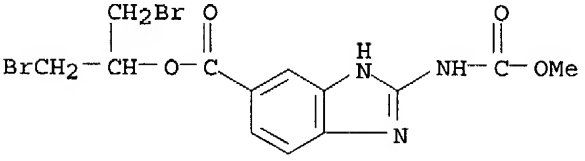
RN 436810-17-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester (9CI) (CA INDEX NAME)



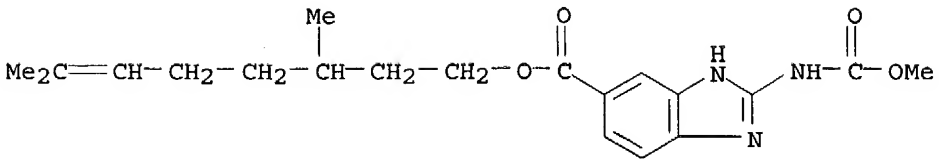
RN 436810-18-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



RN 436810-19-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-bromo-1-(bromomethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-21-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:551610 HCAPLUS
DOCUMENT NUMBER: 137:109275
TITLE: Preparation of methyl 1H-benzimidazole-2-carbamates for treating cancer or viral infections
INVENTOR(S): Camden, James Berger; Quada, James C., Jr.; Agyin, Joseph K.
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S., 17 pp., Cont. of U.S. Ser. No. 857,811.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

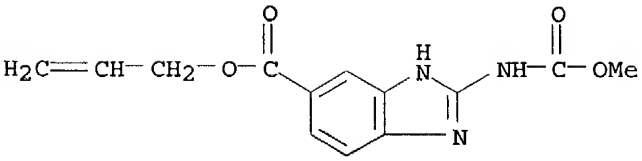
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6423735 | B1 | 20020723 | US 2000-676029 | 20000929 |
| US 6506783 | B1 | 20030114 | US 1997-857811 | 19970516 |
| CN 1254282 | A | 20000524 | CN 1997-182190 | 19971126 |
| US 6077862 | A | 20000620 | US 1999-259969 | 19990301 |
| AU 763272 | B2 | 20030717 | AU 2001-37094 | 20010418 |

PRIORITY APPLN. INFO.: US 1997-857811 A2 19970516
AU 1998-74027 A3 19971126
OTHER SOURCE(S): MARPAT 137:109275

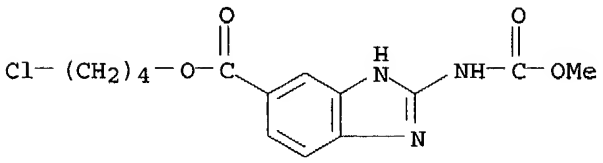
AB The title compds. [I (R = OCORa; Ra = (un)substituted Ph), II (R = CONR1R2, CO2R1, OCOR1, NHCOR1; R1 = alkyl, haloalkyl, cycloalkyl, etc.; R2 = H, alkyl)] were prepared Thus, reacting Me 2-amino-5-hydroxybenzimidazolecarbamate with 3,5,5-trimethylhexanoyl chloride in THF afforded 57% I [R = OCOCH2CHMeCH2CMe3] which showed IC50 of 20.1 μM and IC50 of 15.8 μM for growth inhibition of B16 murine melanoma cells and H29 human colon cancer cells, resp. Such compds. I may be used in combination with a chemotherapeutic agent and/or a potentiator such as DNA-interactive agent, an antimetabolite, a tubulin-interactive agent, a hormonal agent, an antihormonal antigen, and an adrenal corticosteroid.

IT **135696-76-3P 216148-83-3P 216148-85-5P**
**216148-87-7P 436810-12-7P 436810-15-0P**
**436810-16-1P 436810-17-2P 436810-18-3P**
**436810-19-4P 436810-21-8P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Me benzimidazole-2-carbamates for treating cancer or viral infections)

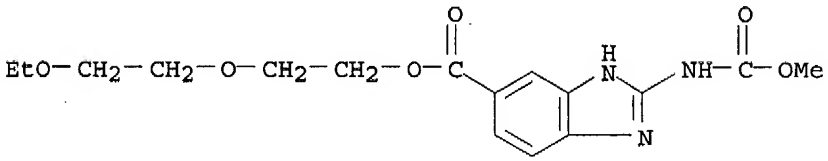
RN 135696-76-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)



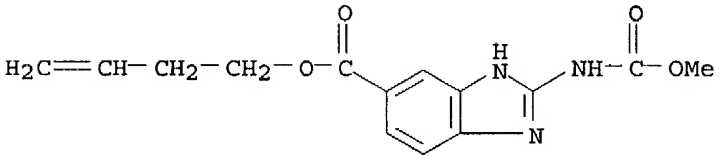
RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester (9CI) (CA INDEX NAME)



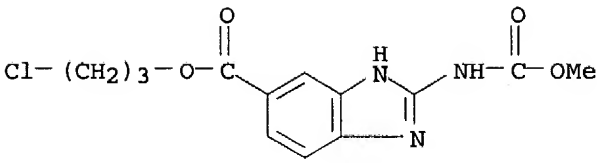
RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



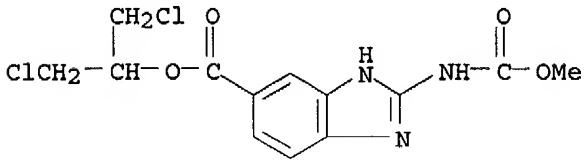
RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)



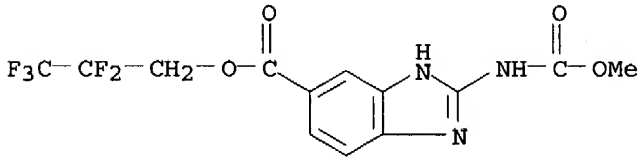
RN 436810-12-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



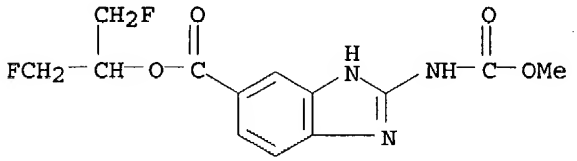
RN 436810-15-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



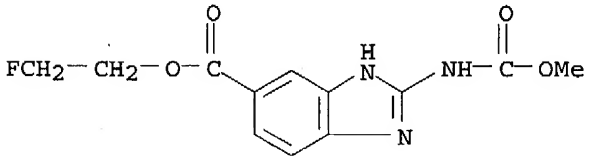
RN 436810-16-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester (9CI) (CA INDEX NAME)



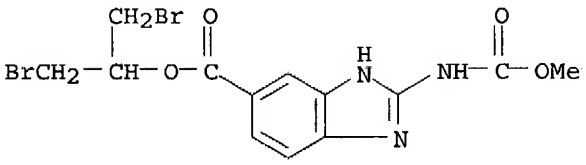
RN 436810-17-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester (9CI) (CA INDEX NAME)



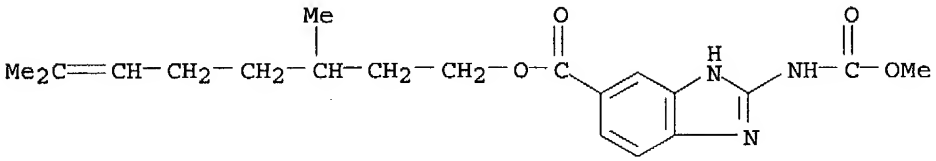
RN 436810-18-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



RN 436810-19-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-bromo-1-(bromomethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-21-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:534039 HCAPLUS
DOCUMENT NUMBER: 137:93753
TITLE: Preparation of 2,5-disubstituted benzimidazoles used in the treatment of cancer or viral infections
INVENTOR(S): Camden, James Berger; Agyin, Joseph K.; Quada, James C., Jr.
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S., 18 pp., Cont.-in-part of U. S. Ser. No. 857,811. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

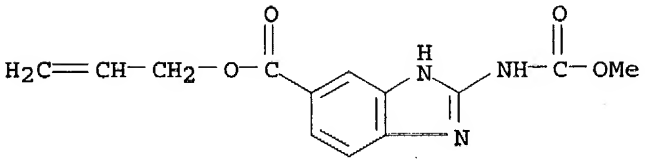
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6420411 | B1 | 20020716 | US 2000-676202 | 20000929 |
| US 6506783 | B1 | 20030114 | US 1997-857811 | 19970516 |
| CN 1254282 | A | 20000524 | CN 1997-182190 | 19971126 |
| US 6077862 | A | 20000620 | US 1999-259969 | 19990301 |
| AU 763272 | B2 | 20030717 | AU 2001-37094 | 20010418 |

PRIORITY APPLN. INFO.: US 1997-857811 A2 19970516
AU 1998-74027 A3 19971126
OTHER SOURCE(S): MARPAT 137:93753
AB Title compds. I [R1 = (halo)alkyl, hydroxyalkyl, (halo)alkenyl, cycloalkyl, heterocycloalkyl, substituted Ph and analogs thereof] were prepared For instance, Me 5-amino-1H-benzimidazol-2-ylcarbamate was acylated with 3,5,5-trimethylhexanoyl chloride to provide I (R1 = CH2CH(CH3)CH2C(CH3)3; II). II had IC50 = 6.6 and 7.0 μM for the murine

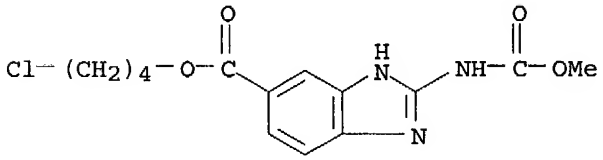
melanoma and human colon carcinoma cell line resp. I are used for the treatment of cancers or viral infections and may be used in combination with a chemotherapeutic agent and/or a potentiator.

IT **135696-76-3P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-propenyl ester **216148-83-3P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester **216148-85-5P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester **216148-87-7P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester **436810-12-7P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester **436810-15-0P 436810-16-1P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester **436810-17-2P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester **436810-18-3P** **436810-19-4P 436810-21-8P**, 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester
RL: **PAC (Pharmacological activity)**; PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of substituted benzimidazole-2-carbamates as antiviral/antitumor agents)

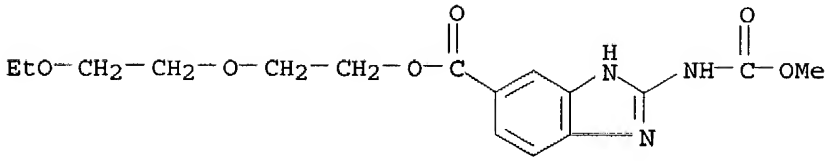
RN 135696-76-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)



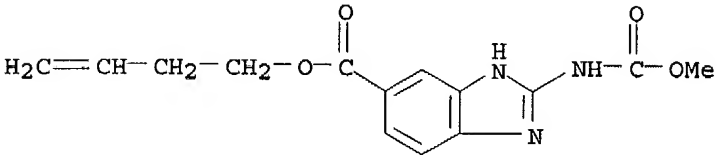
RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester (9CI) (CA INDEX NAME)



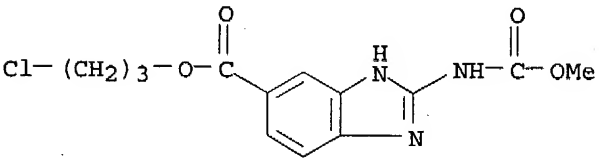
RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



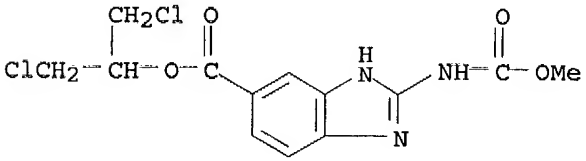
RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)



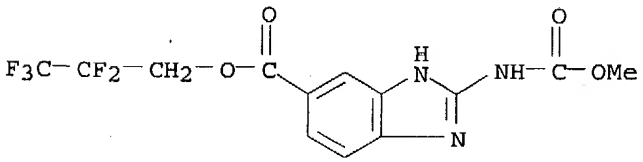
RN 436810-12-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



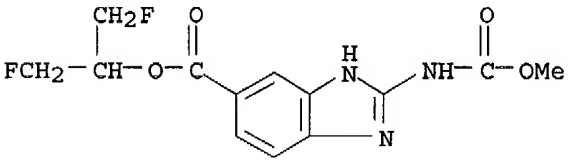
RN 436810-15-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



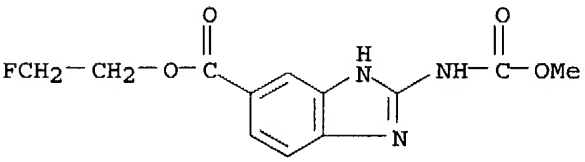
RN 436810-16-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester (9CI) (CA INDEX NAME)



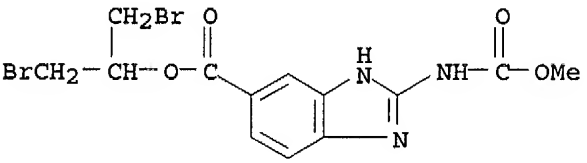
RN 436810-17-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester (9CI) (CA INDEX NAME)



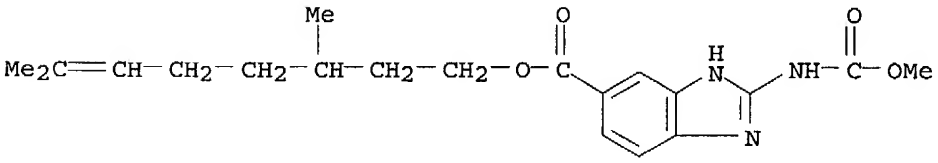
RN 436810-18-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



RN 436810-19-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-bromo-1-(bromomethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-21-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



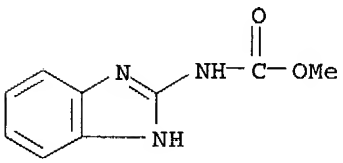
REFERENCE COUNT: 115 THERE ARE 115 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 23 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:512404 HCAPLUS
DOCUMENT NUMBER: 138:214807

TITLE: Preclinical Antitumor Activity and Pharmacokinetics of Methyl-2-Benzimidazolecarbamate (FB642)
AUTHOR(S): Hao, Desiree; Rizzo, Jinee D.; Stringer, Stephanie; Moore, Rodney V.; Marty, Jennifer; Dexter, Daniel L.; Mangold, Gina L.; Camden, James B.; Von Hoff, Daniel D.; Weitman, Steven D.
CORPORATE SOURCE: Institute for Drug Development, Cancer Therapy and Research Center, University of Texas Health Science Center at San Antonio, San Antonio, TX, USA
SOURCE: Investigational New Drugs (2002), 20(3), 261-270
CODEN: INNDDK; ISSN: 0167-6997
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Methyl-2-benzimidazolecarbamate (carbendazim, FB642) is an anticancer agent that induces **apoptosis** of cancer cells. In vitro, FB642 demonstrated potent antitumor activity against both the murine B16 melanoma (IC50 = 8.5 μm) and human HT-29 colon carcinoma (IC50 = 9.5 μm) cell lines. FB642 was also highly active against both murine tumor models and human tumor xenografts at varying doses and schedules. In the murine B16 melanoma model, T/C values > 200 were observed In the human tumor xenograft, FB642 produced tumor growth inhibition of greater than 58% in 5 of the 7 xenograft models evaluated. Partial and complete tumor shrinkage was noted with FB642 against the MCF-7 breast tumor model. Pharmacokinetic studies in rats demonstrated that oral absorption of FB642 was variable and may be saturated at the 2000 mg/kg dose level since higher doses failed to produce a further increase in the area under the time concentration curve. Toxicity of FB642 in vivo appeared to be dose-dependent. Lower doses in the range of 2000-3000 mg/kg were better tolerated, while still preserving antitumor activity. Evaluation of FB642 in phase I clin. trials of adult patients with advanced malignancies is currently ongoing.

IT **10605-21-7**, Methyl-2-benzimidazolecarbamate
RL: **PAC (Pharmacological activity)**; **PKT (Pharmacokinetics)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(antitumor activity and pharmacokinetics of methyl-2-benzimidazolecarbamate)
RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:461292 HCAPLUS
DOCUMENT NUMBER: 137:33301
TITLE: Preparation of 2,5-disubstituted benzimidazoles used in the treatment of cancer or viral infections
INVENTOR(S): Quada, James C., Jr.; Agyin, Joseph K.; Camden, James Berger

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 857,811.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

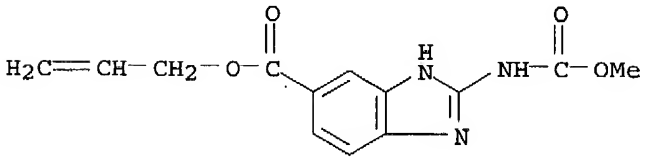
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6407131 | B1 | 20020618 | US 2000-676030 | 20000929 |
| US 6506783 | B1 | 20030114 | US 1997-857811 | 19970516 |
| CN 1254282 | A | 20000524 | CN 1997-182190 | 19971126 |
| US 6077862 | A | 20000620 | US 1999-259969 | 19990301 |
| AU 763272 | B2 | 20030717 | AU 2001-37094 | 20010418 |

PRIORITY APPLN. INFO.: US 1997-857811 A2 19970516
AU 1998-74027 A3 19971126
OTHER SOURCE(S): MARPAT 137:33301

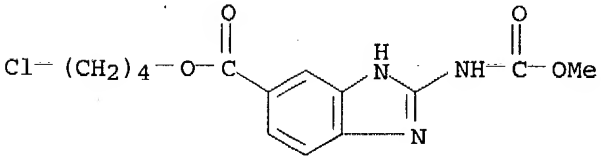
AB Title compds. I [R1 = (halo)alkyl, hydroxyalkyl, (halo)alkenyl, cycloalkyl, heterocycloalkyl, substituted Ph and analogs thereof] were prepared For instance, Me 2-amino-5-hydroxybenzimidazole carbamate was acylated with 3,5,5-trimethylhexanoyl chloride to provide I (R1 = CH2CH2CH(CH3)CH2C(CH3)3; II). II had IC50 = 20.1 and 15.8 μM for the murine melanoma and human colon carcinoma cell line resp. I are used for the treatment of cancers or viral infections and may be used in combination with a chemotherapeutic agent and/or a potentiator.

IT **135696-76-3P 216148-83-3P 216148-85-5P**
**216148-87-7P 436810-12-7P 436810-15-0P**
**436810-16-1P 436810-17-2P 436810-18-3P**
**436810-19-4P 436810-21-8P**
RL: **PAC (Pharmacological activity)**; PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of substituted benzimidazole-2-carbamates as antiviral/antitumor agents)

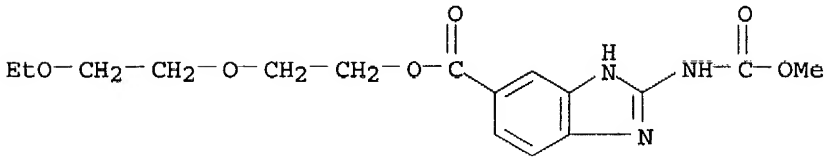
RN 135696-76-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-propenyl ester (9CI) (CA INDEX NAME)



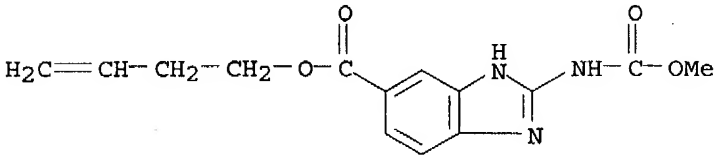
RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester (9CI) (CA INDEX NAME)



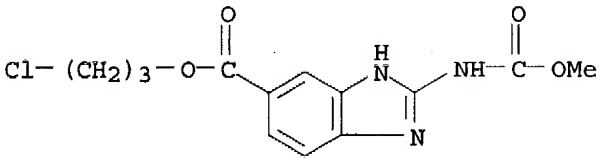
RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



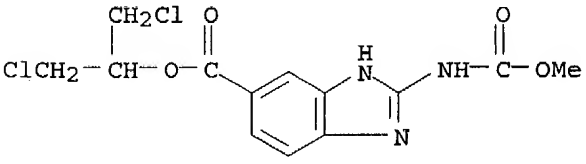
RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)



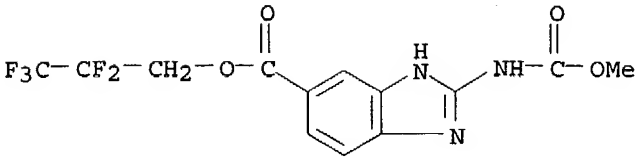
RN 436810-12-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



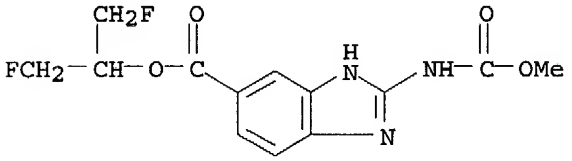
RN 436810-15-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



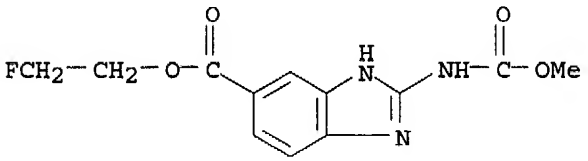
RN 436810-16-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2,2,3,3,3-pentafluoropropyl ester (9CI) (CA INDEX NAME)



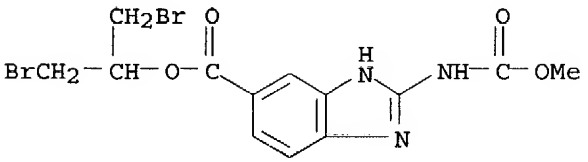
RN 436810-17-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoro-1-(fluoromethyl)ethyl ester (9CI) (CA INDEX NAME)



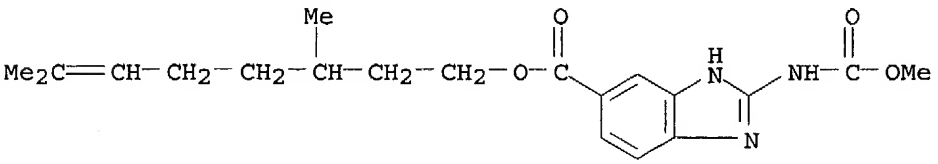
RN 436810-18-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-fluoroethyl ester (9CI) (CA INDEX NAME)



RN 436810-19-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-bromo-1-(bromomethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 436810-21-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,7-dimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 115 THERE ARE 115 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 25 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:256239 HCAPLUS
DOCUMENT NUMBER: 136:289365
TITLE: Benzimidazole compounds and methods for use thereof in the treatment of cancer or viral infections
INVENTOR(S): Quada, James C., Jr.; Agyin, Joseph K.; Camden, James Berger
PATENT ASSIGNEE(S): Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002026716 | A2 | 20020404 | WO 2001-US29261 | 20010919 |
| WO 2002026716 | A3 | 20020711 | | |
| W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6380232 | B1 | 20020430 | US 2000-670170 | 20000926 |
| US 6407105 | B1 | 20020618 | US 2000-670169 | 20000926 |
| US 6462062 | B1 | 20021008 | US 2000-670168 | 20000926 |
| US 6608096 | B1 | 20030819 | US 2000-670166 | 20000926 |
| EP 1330441 | A2 | 20030730 | EP 2001-973190 | 20010919 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004509949 | T2 | 20040402 | JP 2002-531100 | 20010919 |
| US 2002193609 | A1 | 20021219 | US 2002-132545 | 20020425 |
| US 6720349 | B2 | 20040413 | | |
| US 2003100592 | A1 | 20030529 | US 2002-267051 | 20021008 |
| US 2004029942 | A1 | 20040212 | US 2003-634542 | 20030805 |

PRIORITY APPLN. INFO.:
US 2000-670166 A 20000926
US 2000-670168 A 20000926
US 2000-670169 A 20000926
US 2000-670170 A 20000926
WO 2001-US29261 W 20010919

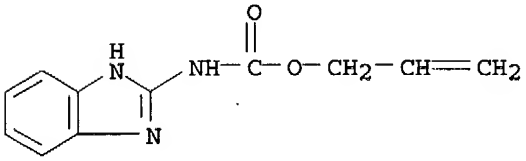
OTHER SOURCE(S): MARPAT 136:289365

AB Benzimidazole derivs. and salts and prodrugs thereof are disclosed, together with methods for the treatment of cancers or viral infections in warm blooded animals by administration of these compds. Such compds. may be used in combination with a chemotherapeutic agent and/or a potentiator. 2-Aminobenzimidazole was reacted with benzyl isocyanate to give a product that inhibited murine melanoma and human colon carcinoma with IC50s of 73.5 and 66.0 μM, resp.

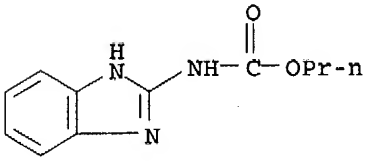
IT **40440-98-0 40483-96-3**

RL: BSU (Biological study, unclassified); **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(murine melanoma and human colon carcinoma and tubulin polymerization inhibition with; benzimidazole compds. and methods for use thereof in treatment of cancer or viral infections)

RN 40440-98-0 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 40483-96-3 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, propyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:133943 HCAPLUS
DOCUMENT NUMBER: 137:103367
TITLE: Pharmacokinetic comparison of intravenous carbendazim and remote loaded carbendazim liposomes in nude mice
AUTHOR(S): Jia, Lee; Garza, Mark; Wong, Hong; Reimer, Dody; Redelmeier, Thomas; Camden, Jim B.; Weitman, Steve D.
CORPORATE SOURCE: Institute for Drug Development/CTRC, San Antonio, TX, 78245-3217, USA
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2002), 28(1), 65-72
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

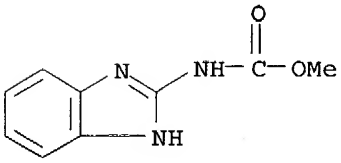
AB Carbendazim is a novel anticancer agent. The aim of this study was to prepare and characterize a remote loaded liposome preparation of carbendazim, and compare its pharmacokinetic profile to that of unencapsulated carbendazim. Carbendazim was encapsulated in liposomes composed of sphingomyelin-cholesterol (3:1, weight/weight) by remote loading in response to a transmembrane pH gradient (pH 0.5 in/pH 4.0 out), which resulted in encapsulation of more than 95% of the available drug in preformed vesicles. High drug/lipid ratios were prepared which correspond to a molar ratio of up to 0.8. Phys. isolation of the free drug and dialysis were used to determine the in vitro release of carbendazim from liposomes. The release was independent of the initial drug/lipid ratio and choice of

internal buffer composition Liposomal carbendazim (200 mg kg-1) was i.v. administered to athymic nude mice and the serum levels of free carbendazim were determined by HPLC anal. after a methanol-induced protein precipitation Administration of liposomal carbendazim to mice resulted in significant alterations in the pharmacokinetics. Serum levels of free carbendazim were approx. 10-fold greater than those achieved for the same dose of unencapsulated drug. Liposomal carbendazim showed both high Cmax, AUC and low clearance rate. Liposomal carbendazim and unencapsulated carbendazim displayed a similar terminal half-life (43-48 min). The relatively large volume of distribution of carbendazim suggests that the compound may partially enter cells or be bound to some extravascular structures. The results indicate that the liposomal formulation of carbendazim significantly increases its blood concns.

IT **10605-21-7**, Carbendazim
RL: **PKT (Pharmacokinetics)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pharmacokinetic comparison of i.v. carbendazim and remote loaded carbendazim liposomes in nude mice)

RN 10605-21-7 HCAPLUS

CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 27 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:931421 HCAPLUS
DOCUMENT NUMBER: 136:193664
TITLE: Delocalized Electronic Structure of the Thiol Sulfur Substantially Prevents Nucleic Acid Damage Induced by Neocarzinostatin
AUTHOR(S): Kuo, Shiu-Mann; Chao, Pei-Dawn Lee; Chin, Der-Hang
CORPORATE SOURCE: Department of Chemistry, National Changhua University of Education, Changhua, Taiwan
SOURCE: Biochemistry (2002), 41(3), 897-905
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

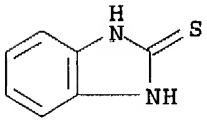
AB Neocarzinostatin is a potent antitumor antibiotic and is a prodrug, which induces genome damage after activation by a thiol. The prodrug is stored as a protein-bound chromophore that contains an enediyne nucleus. A thiolate attack on the chromophore cyclizes the nucleus and produces radicals that abstract hydrogen from DNA. Because thiol is the only cofactor in the vital activation process, the structure of the thiol plays an important role in the activity of the drug. Here we systematically examine the effect of the electronic structure of some thiols on the efficiency of the drug, and compare particularly aromatic with aliphatic thiols.

The values of drug-induced base release from DNA are remarkably different between thiophenol (3.6%) and benzyl mercaptan (12.5%), the activity of

which is comparable with those of aliphatic thiols. Cleavage results determined
by DNA electrophoresis are consistent with the results of base release; they show that the total number of DNA lesions is more than 3-fold lower for thiophenol than for aliphatic thiols or benzyl mercaptan. We conclude that among aromatic thiols, only those that have delocalized thiol sulfur electrons can substantially reduce the DNA cleavage activity. This result suggests that the effect of an aromatic ring arises from an inductive effect imposed on the thiol sulfur electron through π-resonance rather than through effects such as aromatic stacking, steric hindrance, or hydrophobic interaction. Replacing thiophenol with substituted derivs. with electron-releasing or -withdrawing groups changes the drug activity and supports the important role of the electronic structure of the thiol sulfur in determining the drug activity.

IT **583-39-1**, 2-Mercaptobenzimidazole
RL: **PAC (Pharmacological activity)**; PRP (Properties); BIOL (Biological study)
(delocalized electronic structure of thiol sulfur substantially prevents nucleic acid damage induced by neocarzinostatin)

RN 583-39-1 HCAPLUS
CN 2H-Benzimidazole-2-thione, 1,3-dihydro- (9CI) (CA INDEX NAME)



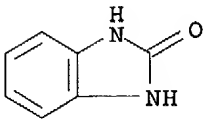

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:904106 HCAPLUS
DOCUMENT NUMBER: 136:37593
TITLE: Preparation of benzoheterocycleones as cytoprotectors
INVENTOR(S): Ashimori, Atsuyuki; Horie, Satoshi; Takanashi, Shinichi
PATENT ASSIGNEE(S): Welfide Corporation, Japan
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001094311 | A1 | 20011213 | WO 2001-JP4796 | 20010607 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-172353 A 20000608
OTHER SOURCE(S): MARPAT 136:37593
AB Title compds. [I; Y = CH2, S, O, NH; R1 = H, 5-OCH3, 5-OH, 5-F, 5-Br, 5-CH3, 5-NO2; n = 2, 3, 4, 5, 6, 7, 8] and pharmaceutically acceptable salts are prepared as novel cytoprotectors. Thus, the title compound I (Y = CH2; n = 5; R1 = H) was prepared and biol. tested for **apoptosis** induction inhibition activity.
IT **615-16-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolidinediones and use thereof as remedies for allergic, inflammatory, and glaucoma diseases)
RN 615-16-7 HCAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro- (9CI) (CA INDEX NAME)



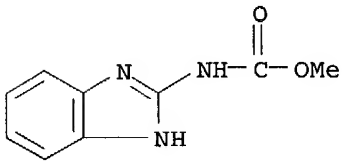

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 29 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:869026 HCAPLUS
DOCUMENT NUMBER: 136:610
TITLE: Benzimidazole carbamate compounds for cancer treatment
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 791,986.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2001047021 | A1 | 20011129 | US 2001-843562 | 20010426 |
| PRIORITY APPLN. INFO.: | | | US 2000-562709 | B2 20000428 |
| | | | US 2000-791986 | A2 20000428 |

OTHER SOURCE(S): MARPAT 136:610
AB The invention is a method for treating cancer, including carcinomas and sarcomas, through the administration of a pharmaceutical composition containing a
tetra-substituted benzimidazole carbamate. The tetra-substituted benzimidazole carbamates of the invention are I [X, Y, Z , A = Br, F, Cl, I, alkyl of less than 4 C, alkoxy of less than 4 C; R = H, (C1-4 alkyl)aminocarbonyl, C1-8 alkyl; R1 = aliphatic hydrocarbon of less than 7 C], or pharmaceutically acceptable salts or prodrugs thereof. Preferably R1 is an alkyl group of less than 3 C and X,Y, Z, and A are a halogen. Most preferred is 2-methoxycarbonylamino-4,5,6,7-tetrafluorobenzimidazole (preparation described). The tetra-substituted benzimidazole carbamates, and pharmaceutical compns. containing them, are claimed. X,Y,Z, and A are preferably electron-withdrawing groups.
IT **10605-21-7**

RL: BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole carbamate compds. for cancer treatment)
RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




L19 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:868262 HCAPLUS
DOCUMENT NUMBER: 136:11156
TITLE: Method for increased bioavailability of nutrients and pharmaceuticals by tetrahydropiperine and its analogs and derivatives
INVENTOR(S): Majeed, Muhammed; Badmaev, Vladimir; Bammi, Kumar Rajinder; Prakash, Subbalakshmi; Natarajan, Sankaran
PATENT ASSIGNEE(S): Sabinsa Corporation, USA; Sami Chemicals & Extracts (P) Ltd.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001089571 | A2 | 20011129 | WO 2001-US16070 | 20010521 |
| WO 2001089571 | A3 | 20020307 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002058695 | A1 | 20020516 | US 2001-860816 | 20010521 |
| GB 2380675 | A1 | 20030416 | GB 2002-29561 | 20010521 |
| DE 10196213 | T | 20030430 | DE 2001-10196213 | 20010521 |
| JP 2003534295 | T2 | 20031118 | JP 2001-585813 | 20010521 |

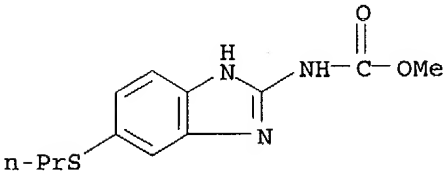
PRIORITY APPLN. INFO.: US 2000-205245P P 20000519
US 2001-277979P P 20010323
WO 2001-US16070 W 20010521

AB Tetrahydropiperine and analogs and derivs. including dihydropiperine, are disclosed to enhance the absorption and/or bioavailability of nutrients, drugs and other organic compds., such as insecticides. Thus, tetrahydroperine was prepared by the reduction of piperine. In the presence of tetrahydroperine, the anthelmintic activity of albendazole was enhanced.
IT **54965-21-8**, Albendazole

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(increased bioavailability of nutrients and pharmaceuticals by tetrahydropiperine and its analogs and derivs.)

RN 54965-21-8 HCAPLUS

CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




L19 ANSWER 31 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730533 HCAPLUS

DOCUMENT NUMBER: 135:262281

TITLE: Water-soluble additives for the manufacture of easy-to-take granules

INVENTOR(S): Murai, Kouji; Narita, Shoichi; Ogasa, Takehiro

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

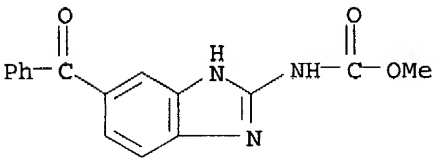
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001072285 | A1 | 20011004 | WO 2001-JP2406 | 20010326 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001042783 | A5 | 20011008 | AU 2001-42783 | 20010326 |
| EP 1269995 | A1 | 20030102 | EP 2001-915776 | 20010326 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003104066 | A1 | 20030605 | US 2002-239751 | 20021029 |

PRIORITY APPLN. INFO.: JP 2000-86516 A 20000327
WO 2001-JP2406 W 20010326

AB Disclosed are easy-to-take granules which comprise an active ingredient, at least one soluble additive having an average particle diameter smaller than 50 μm, and at least one disintegrator. The granules are easily dissolved or disintegrated in the buccal cavity. D-Mannitol 90 g was pulverized and mixed with crospovidone 5.5, hydroxypropyl cellulose 2, and oxatomide 2 g. Water was added to the mixture for kneading and granulation.

IT **31431-39-7**, Mebendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(water-soluble additives for manufacturing easy-to-take granules)
RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 32 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:687313 HCAPLUS
DOCUMENT NUMBER: 135:236410
TITLE: Aryl aldehyde 5-oxo-1,2,4-triazine hydrazide derivatives for cancer treatment
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Co., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6290929 | B1 | 20010918 | US 2000-627610 | 20000728 |
| WO 2002009715 | A2 | 20020207 | WO 2001-US23426 | 20010725 |
| WO 2002009715 | A3 | 20030103 | | |
| W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1313480 | A2 | 20030528 | EP 2001-959199 | 20010725 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004505055 | T2 | 20040219 | JP 2002-515268 | 20010725 |

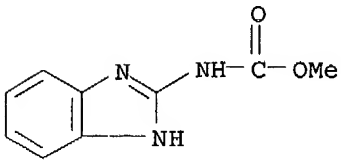
PRIORITY APPLN. INFO.: US 2000-627610 A 20000728
WO 2001-US23426 W 20010725
OTHER SOURCE(S): MARPAT 135:236410
AB A method is provided for treating cancer, including carcinomas and sarcomas, through the administration of a pharmaceutical composition containing an
aryl aldehyde 5-oxo-1,2,4-triazine hydrazide derivative The aryl aldehyde

5-oxo-1,2,4-triazine hydrazide derivative is selected from I (R, R1 = H, C1-7 alkyl), and pharmaceutical salts, prodrugs, metabolites, and mixts. thereof. Pharmaceutical compns. comprising these compds. and their use in various treatment methods are claimed. The compds. can be used in conjunction with other chemotherapeutic agents and potentiators.

IT **10605-21-7**, 2-Methoxycarbonylaminobenzimidazole
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(aryl aldehyde 5-oxo-1,2,4-triazine hydrazide derivs. for cancer treatment, and use with other agents)

RN 10605-21-7 HCAPLUS

CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 33 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:619902 HCAPLUS

DOCUMENT NUMBER: 135:338879

TITLE: Pilot study of albendazole in patients with advanced malignancy: effect on serum tumor markers/high incidence of neutropenia

AUTHOR(S): Morris, David L.; Jourdan, Jean-Luc; Pourgholami, Mohammad H.

CORPORATE SOURCE: Department of Surgery, St George Hospital, University of New South Wales, Sydney, 2217, Australia

SOURCE: Oncology (2001), 61(1), 42-46
CODEN: ONCOBS; ISSN: 0030-2414

PUBLISHER: S. Karger AG

DOCUMENT TYPE: Journal

LANGUAGE: English

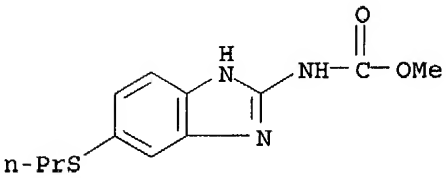
AB Our preclin. studies have shown that the widely used antiparasitic drug albendazole has potent antiproliferative activity against colorectal cancer (CRC) and hepatocellular carcinoma (HCC). This trial was designed to evaluate albendazole in a small number of patients (n = 7) with either HCC or CRC and hepatic metastases refractory to other forms of therapy. Albendazole was given at 10 mg/kg/day orally in two divided doses for a period of 28 days. To follow the effect of treatment, tumor markers, carcinoembryonic antigen (CEA) or α-feto protein (AFP), were measured routinely in these patients. A range of hematol. and biochem. indexes were also serially measured to monitor bone marrow, kidney or liver toxicity. Albendazole therapy resulted in a decrease in CEA in 2 patients. In the remaining 5 with measurable tumor markers, serum CEA or AFP was stabilized in 3 patients, while in the other 2, after an initial stabilization (5-10 days), the markers began to increase. In the 7 patients completing the trial, albendazole was well tolerated and there were no significant changes in any hematol., kidney or liver function tests, but 3 patients were withdrawn for severe neutropenia which was probably contributory to the death of 1 patient. These data support our

previous exptl. results demonstrating that albendazole has antitumor effects.

IT **54965-21-8**, Albendazole
RL: ADV (Adverse effect, including toxicity); **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pilot study of albendazole in humans with advanced malignancy)

RN 54965-21-8 HCAPLUS

CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 34 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:537498 HCAPLUS
DOCUMENT NUMBER: 135:117218
TITLE: Methods and pharmaceutical compositions using benzimidazole derivatives for treating leukemia
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 910,801, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6265427 | B1 | 20010724 | US 1999-375173 | 19990816 |
| US 2001027205 | A1 | 20011004 | US 2001-792112 | 20010223 |
| US 6552059 | B2 | 20030422 | | |

PRIORITY APPLN. INFO.: US 1995-473817 B1 19950607
US 1997-910801 B2 19970812
US 1999-375173 A1 19990816

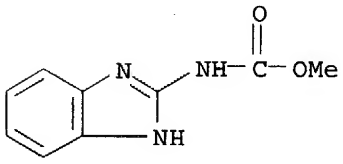
OTHER SOURCE(S): MARPAT 135:117218

AB Methods are disclosed for treating leukemia, inhibiting the growth or proliferation of leukemic cells, and extending the life span of a animal having leukemia. The methods comprise treating the leukemia with an effective amount of I (X = H, halo, alkyl of less than 7 carbon atoms; n = pos. integer of less than 4; Y = H, Cl, nitro, Me, ethyl; R = H, C1-8 alkyl, alkylcarbamyl; R2 = 4-thiazolyl, NHCOOR1; R1 = aliphatic hydrocarbon of less than 7 carbon atoms), or a pharmaceutically acceptable salt or prodrug form thereof. A chemotherapeutic agent and/or potentiator can be used in conjunction with I. Compds. of the invention include e.g. carbendazim (2-methoxycarbonylaminobenzimidazole).

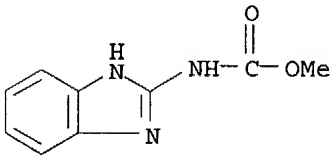
IT **10605-21-7**, Carbendazim **37574-18-8**

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole derivs. for treatment of leukemia)

RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)



RN 37574-18-8 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 120 THERE ARE 120 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 35 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:521912 HCAPLUS
DOCUMENT NUMBER: 135:102582
TITLE: Methods of treating cancers and viral infections with benzimidazoles
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Co., USA
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. 5,880,144.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

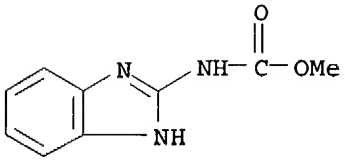
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6262093 | B1 | 20010717 | US 1999-264942 | 19990309 |
| ZA 9602879 | A | 19970317 | ZA 1996-2879 | 19960411 |
| US 5767138 | A | 19980616 | US 1996-771193 | 19961220 |
| US 5880144 | A | 19990309 | US 1997-927550 | 19970906 |
| US 6362207 | B1 | 20020326 | US 2000-748651 | 20001222 |
| US 6479526 | B1 | 20021112 | US 2002-106429 | 20020326 |

US 2002198247 A1 20021226
US 2003187046 A1 20031002 US 2002-288264 20021106
US 6653335 B2 20031125
PRIORITY APPLN. INFO.: US 1995-420914 B3 19950412
US 1996-771193 A3 19961220
US 1997-927550 A2 19970906
US 1998-81384 B2 19980519
US 1998-81627 B2 19980519
US 1999-264942 A3 19990309
US 2000-748651 A1 20001222
US 2002-106429 A1 20020326
OTHER SOURCE(S): MARPAT 135:102582

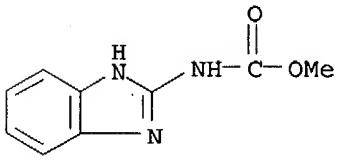
AB A method and composition are disclosed for treating cancer, both carcinomas and sarcomas, and viral infections, in particular HIV, through the administration of a pharmaceutical composition containing a benzimidazole derivative
The benzimidazole derivs. are I [X = H, halo, alkyl of less than 7 carbon atoms, alkoxy of less than 7 carbon atoms; n = integer less than 4; Y = H, Cl, nitro, Me, Et, oxychloro; R = H, alkylaminocarbonyl (alkyl has 3-6 carbon atoms), C1-8 alkyl; R2 = 4-thiazolyl, NHCOOR1 (R1 = aliphatic hydrocarbon of less than 7 carbon atoms)], prodrugs, pharmaceutically acceptable salts, and mixts. thereof, and a pharmaceutically acceptable carrier.

IT **10605-21-7**, 2-(Methoxycarbonylamino)benzimidazole
**37574-18-8**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazoles for treating cancers and viral infections)

RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)



RN 37574-18-8 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

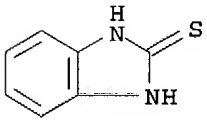
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 36 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:447049 HCAPLUS
DOCUMENT NUMBER: 136:210031
TITLE: Cytotoxic activity of 5-benzoylimidazole and related compounds against human oral tumor cell lines
AUTHOR(S): Terasawa, Kuniko; Sugita, Yoshiaki; Yokoe, Ichiro; Fujisawa, Seiichiro; Sakagami, Hiroshi
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Josai University, Saitama, 350-0295, Japan
SOURCE: Anticancer Research (2001), 21(2A), 1081-1086
CODEN: ANTRD4; ISSN: 0250-7005
PUBLISHER: International Institute of Anticancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A total of 24 benzoylimidazoles and structurally-related compds. were investigated for their cytotoxic activity against oral tumor cells and normal gingival fibroblast. Compound 23 (5-(2-hydroxylbenzoyl)-2-phenylimidazole) showed the highest cytotoxic activity against both human oral tumor cell lines (human squamous cell carcinoma HSC-2, human salivary gland tumor HSG) and normal human gingival fibroblast (HGF). Compds. 7 (2-(2-hydroxybenzoyl)benz imidazo[2,1-b]thiazole), 14 (1,3-diethyl-5-(2-hydroxybenzoyl)-4-imidazoline-2-thione) and 18 (5-(2-hydroxy-4-methoxybenzoyl)-3-methyl-2-methylimino-4-thiazoline) showed slightly lower cytotoxic activity, but higher tumor-specific cytotoxic action. The cytotoxic activity of compound 23 was significantly reduced by CuCl2, but not by CoCl2, FeCl3, or by antioxidants (N-acetyl-L-cysteine, sodium ascorbate, catalase). Compound 23 did not show any detectable oxidation potential (determined by NO monitor). Agarose gel electrophoresis demonstrated that compound 23 induced DNA fragmentation in human promyelocytic leukemia cells HL-60, but not in HSG cells. These data suggested that the response to compound 23 might be different from cell to cell.

IT **583-39-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cytotoxic activity of 5-benzoylimidazole and related compds. against human oral tumor cell lines)
RN 583-39-1 HCAPLUS
CN 2H-Benzimidazole-2-thione, 1,3-dihydro- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:396644 HCAPLUS
DOCUMENT NUMBER: 135:24671
TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions
INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

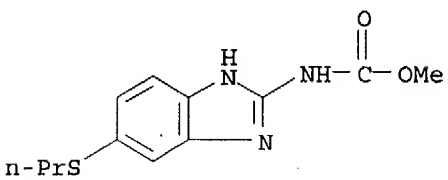
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001037808 | A1 | 20010531 | WO 2000-US32255 | 20001122 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6248363 | B1 | 20010619 | US 1999-447690 | 19991123 |
| EP 1233756 | A1 | 20020828 | EP 2000-980761 | 20001122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003517470 | T2 | 20030527 | JP 2001-539423 | 20001122 |

PRIORITY APPLN. INFO.: US 1999-447690 A 19991123
WO 2000-US32255 W 20001122

AB The present invention provides solid pharmaceutical compns. for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents. A composition contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 g.

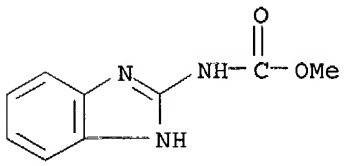
IT **54965-21-8**, Albendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 38 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:242733 HCAPLUS
DOCUMENT NUMBER: 136:146350
TITLE: Exploring the mechanisms of action of FB642 at the cellular level
AUTHOR(S): Hammond, Lisa A.; Davidson, Karen; Lawrence, Richard; Camden, James B.; Von Hoff, Daniel D.; Weitman, Steve; Izbicka, Elzbieta
CORPORATE SOURCE: Institute for Drug Development, Cancer Therapy & Research Center, 8122 Datapoint Drive 650, San Antonio, TX, 78229, USA
SOURCE: Journal of Cancer Research and Clinical Oncology (2001), 127(5), 301-313
CODEN: JCROD7; ISSN: 0171-5216
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
AB FB642 (methyl-2-benzimidazolecarbamate, carbendazim) is a systemic fungicide belonging to the benzimidazole family with antitumor activity against a broad spectrum of tumors both in vitro and in vivo such as pancreas, prostate, colon, and breast. Although the preclin. antitumor activity of FB642 has been well explored, its mechanism of action has not been as well delineated. Previous studies indicate that FB642 may interfere with mitosis and thus may disrupt or inhibit microtubule function resulting in **apoptosis**. This study seeks to determine if FB642 is a sufficiently novel agent worthy of further development by examining the effect of FB642 on **apoptosis**, the cell cycle, p53-pos. and -neg. tumors, and drug-resistant and MDR cell lines. The results of this present study indicate that FB642 increases the degree of **apoptosis** in all the examined tumor cell lines, may induce G2/M uncoupling, may selectively kill p53 abnormal cells, and exhibits antitumor activity in drug- and multidrug-resistant cell lines. The induction of **apoptosis** by FB642, particularly in p53-deficient cells, its impressive in vivo activity against a broad spectrum of murine and human tumors, as well as an acceptable toxicity profile in animals, make FB642 an excellent candidate for further evaluation in clin. trials in cancer patients.
IT **10605-21-7**, Carbendazim
RL: **DMA (Drug mechanism of action)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(mechanisms of action of FB642 at cellular level)
RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

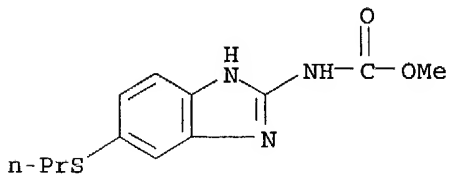
L19 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:182272 HCAPLUS

DOCUMENT NUMBER: 135:116728
TITLE: In vitro and in vivo suppression of growth of hepatocellular carcinoma cells by albendazole
AUTHOR(S): Pourgholami, M. H.; Woon, L.; Almajd, R.; Akhter, J.; Bowery, P.; Morris, D. L.
CORPORATE SOURCE: Department of Surgery, Cancer Research Laboratories of the St. George Hospital, University of New South Wales, Sydney, 2217, Australia
SOURCE: Cancer Letters (Shannon, Ireland) (2001), 165(1), 43-49
CODEN: CALEDQ; ISSN: 0304-3835
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Tubulin protein is a major target of drug mols., and consequently, tubulin inhibitors have attracted great attention as antimitotic antitumor agents for chemotherapeutic use. It has been shown that, the benzimidazole carbamate group of antiparasitics including albendazole act by inhibiting tubulin polymerization In this study, albendazole was tested in culture against a range of human, rat and mice hepatocellular carcinoma (HCC) cells and in vivo against human SKHEP-1 tumor growth in nude mice. Albendazole induced a dose-dependent inhibition of [3H]thymidine incorporation in all cell lines examined and a dramatic decline in cell nos. in SKHEP-1 cells. The inhibitory effect of albendazole was evident at the 100 nM concentration and at 1000 nM, proliferation in all cell lines examined was inhibited by more than 80%, while, proliferation of HepG2, Hep3B and SKHEP-1 were suppressed by more than 90%, compared to control. Cell cycle anal. revealed that, depending on the dose employed, albendazole can arrest SKHEP-1 cells at both G0-G1 (250 nM) and G2-M (1000 nM) phases of the cycle. Albendazole treatment (300 mg/kg per day oral for 20 days) of nude mice inoculated s.c. with SKHEP-1, led to profound suppression of tumor growth. Immunohistochem. anal. of these tumors revealed that compared to control, those treated with albendazole have lower growth fractions. These findings demonstrate that albendazole strongly suppresses both in vitro and in vivo proliferation of HCC cells.

IT **54965-21-8**, Albendazole
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(suppression of growth of hepatocellular carcinoma cells by albendazole)

RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:137003 HCAPLUS
DOCUMENT NUMBER: 134:188191
TITLE: Benzimidazole derivatives for cancer treatment
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001012169 | A2 | 20010222 | WO 2000-US21381 | 20000804 |
| WO 2001012169 | A3 | 20020214 | | |
| W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6423734 | B1 | 20020723 | US 1999-374717 | 19990813 |
| EP 1202735 | A2 | 20020508 | EP 2000-952534 | 20000804 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003506482 | T2 | 20030218 | JP 2001-516515 | 20000804 |
| AU 763311 | B2 | 20030717 | AU 2000-65210 | 20000804 |
| NZ 516766 | A | 20031128 | NZ 2000-516766 | 20000804 |
| US 2003032664 | A1 | 20030213 | US 2002-198334 | 20020718 |

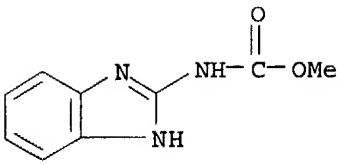
PRIORITY APPLN. INFO.: US 1999-374717 A 19990813
WO 2000-US21381 W 20000804

OTHER SOURCE(S): MARPAT 134:188191

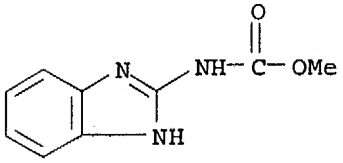
AB Disclosed are methods of treating and inhibiting cancer in animals by administering a therapeutically effective amount of a pharmaceutical composition having benzimidazole derivs. alone or in combination with other therapeutic agents such as other cancer inhibiting compds., and operative combinations thereof. 2-Methoxycarbonylaminobenzimidazole (carbendazim) is preferred compound and administered in a liquid or solid form.

IT **10605-21-7**, 2-Methoxycarbonylaminobenzimidazole
**10605-21-7D**, 2-Methoxycarbonylaminobenzimidazole, sulfonic acid salts **23424-63-7 23424-64-8 37574-18-8**,
Carbendazim hydrochloride **52316-55-9 85187-34-4**
**327023-15-4 327023-16-5 327023-17-6**
**327023-18-7 327023-19-8 327023-20-1**
**327023-21-2 327023-22-3**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazoles in cancer prevention and maintenance therapy)

RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)



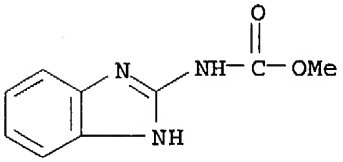
RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)



RN 23424-63-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, sulfate (1:1) (9CI) (CA INDEX NAME)

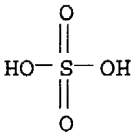
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

CRN 7664-93-9
CMF H2 O4 S

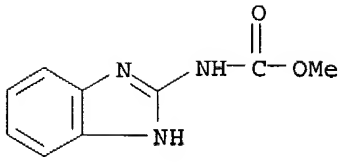


RN 23424-64-8 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, mononitrate (9CI) (CA INDEX NAME)

CM 1

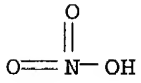
CRN 10605-21-7

CMF C9 H9 N3 O2

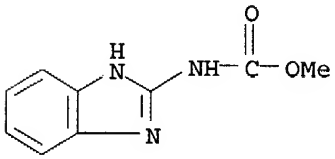


CM 2

CRN 7697-37-2
CMF H N O3



RN 37574-18-8 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

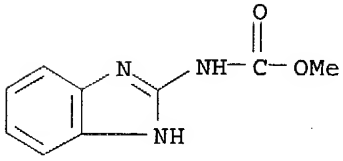


● HCl

RN 52316-55-9 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, phosphate (1:1) (9CI) (CA INDEX NAME)

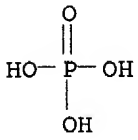
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2

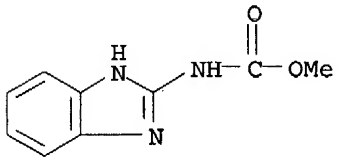


CM 2

CRN 7664-38-2
CMF H3 O4 P



RN 85187-34-4 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, monohydrobromide (9CI) (CA INDEX NAME)

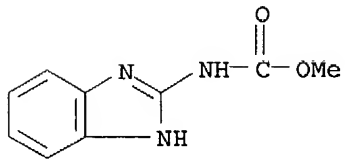


● HBr

RN 327023-15-4 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, monoformate (9CI) (CA INDEX NAME)

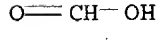
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

CRN 64-18-6
CMF C H2 O2

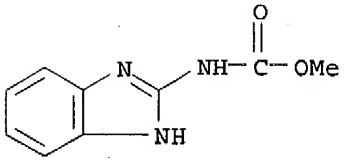


RN 327023-16-5 HCAPLUS

CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

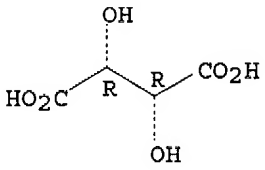
CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

CRN 87-69-4
CMF C4 H6 O6

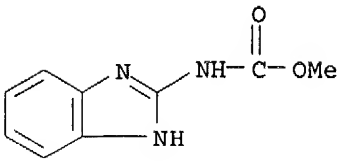
Absolute stereochemistry.



RN 327023-17-6 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

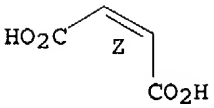
CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

CRN 110-16-7
CMF C4 H4 O4

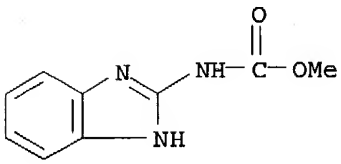
Double bond geometry as shown.



RN 327023-18-7 HCAPLUS
CN Butanedioic acid, hydroxy-, compd. with methyl 1H-benzimidazol-2-ylcarbamate (9CI) (CA INDEX NAME)

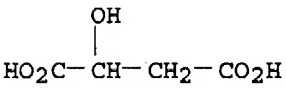
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

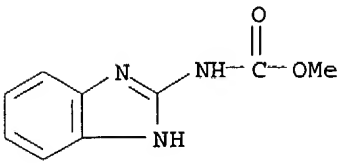
CRN 6915-15-7
CMF C4 H6 O5



RN 327023-19-8 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

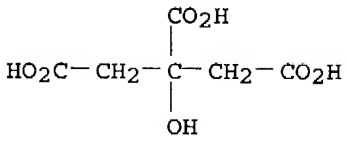
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

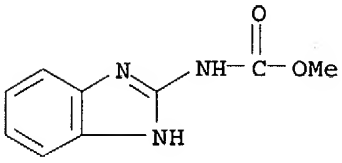
CRN 77-92-9
CMF C6 H8 O7



RN 327023-20-1 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester, monobenzoate (9CI) (CA INDEX NAME)

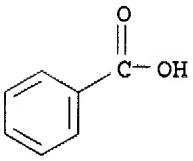
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

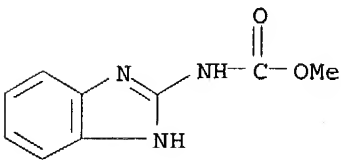
CRN 65-85-0
CMF C7 H6 O2



RN 327023-21-2 HCAPLUS
CN Benzoic acid, 2-hydroxy-, compd. with methyl 1H-benzimidazol-2-ylcarbamate (1:1) (9CI) (CA INDEX NAME)

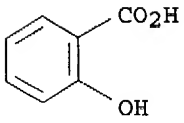
CM 1

CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

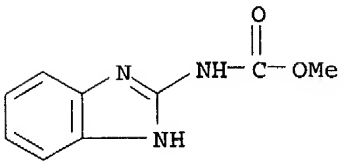
CRN 69-72-7
CMF C7 H6 O3



RN 327023-22-3 HCAPLUS
CN L-Ascorbic acid, compd. with methyl 1H-benzimidazol-2-ylcarbamate (9CI) (CA INDEX NAME)

CM 1

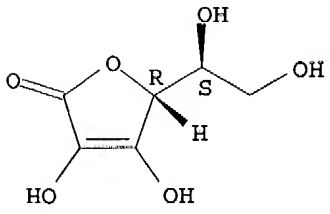
CRN 10605-21-7
CMF C9 H9 N3 O2



CM 2

CRN 50-81-7
CMF C6 H8 O6

Absolute stereochemistry.



L19 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:608551 HCAPLUS
DOCUMENT NUMBER: 133:213151
TITLE: Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents
INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000050007 | A1 | 20000831 | WO 2000-US165 | 20000105 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6294192 | B1 | 20010925 | US 1999-258654 | 19990226 |
| AU 2000022242 | A5 | 20000914 | AU 2000-22242 | 20000105 |
| AU 771659 | B2 | 20040401 | | |
| NZ 513810 | A | 20010928 | NZ 2000-513810 | 20000105 |
| EP 1158959 | A1 | 20011205 | EP 2000-901394 | 20000105 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002537317 | T2 | 20021105 | JP 2000-600619 | 20000105 |

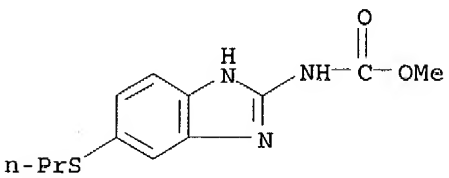
PRIORITY APPLN. INFO.: US 1999-258654 A 19990226
WO 2000-US165 W 20000105

AB The present invention relates to triglyceride-free pharmaceutical compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the surfactants containing the therapeutic agent.

The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A pharmaceutical composition contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT **54965-21-8**, Albendazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:421094 HCAPLUS
DOCUMENT NUMBER: 133:43382
TITLE: Preparation of tubulin-binding agents
INVENTOR(S): Clark, David; Frankmoelle, Walter; Houze, Jonathan; Jaen, Juan C.; Medina, Julio C.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000035865 | A2 | 20000622 | WO 1999-US29968 | 19991215 |
| WO 2000035865 | A3 | 20001026 | | |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

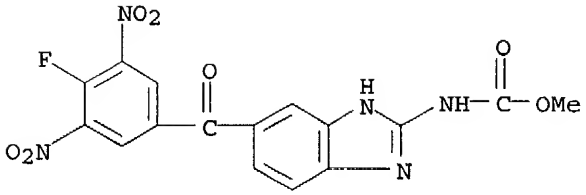
| | | | | |
|---|---|---|---|---|
| US 6433187 | B1 | 20020813 | US 1999-464217 | 19991215 |

PRIORITY APPLN. INFO.: US 1998-112613P P 19981217

AB Derivs. of known tubulin-binding compds. are prepared in which a (poly)fluorobenzene, a fluoropyridine, or a fluoronitrobenzene moiety is incorporated or added to the structure. These derivs. can be used as antimitotic agents and can be considered covalent modifiers of tubulin (no data). The strategy developed for each of the compds. is to (i) append a fluorinated electrophile (e.g., pentafluorophenylsulfonamido, 2-fluoropyridyl, or 3,5-dinitro-4-fluorophenyl) to an existing functional group in a natural product, (ii) replace an aromatic ring in a natural product with a fluorinated electrophile, or (iii) attach a fluorinated electrophile to an open valence in a portion of the mol. that will not interfere with recognition and binding to the tubulin site. Derivs. are provided based on colchicine, steganacin, podophyllotoxin, nocodazole, combretastatin, curacin A, vinblastine, vincristine, dolastatin, 2-methoxyestradiol, dihydroxy-pentamethoxyflavanone and others. Thus, I is prepared from deacetylcolchicine and pentafluorophenylsulfonyl chloride.

IT **274922-49-5P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fluorinated aromatic natural product derivs. as tubulin-binding agents)

RN 274922-49-5 HCAPLUS
CN Carbamic acid, [5-(4-fluoro-3,5-dinitrobenzoyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:98300 HCAPLUS
DOCUMENT NUMBER: 132:132356
TITLE: Chemically induced intracellular hyperthermia for therapeutic and diagnostic use
INVENTOR(S): Bachynsky, Nicholas; Roy, Woodie
PATENT ASSIGNEE(S): Texas Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

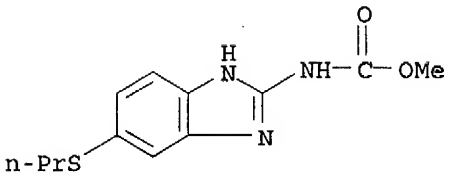
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000006143 | A1 | 20000210 | WO 1999-US16940 | 19990727 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2337690 | AA | 20000210 | CA 1999-2337690 | 19990727 |
| AU 9951318 | A1 | 20000221 | AU 1999-51318 | 19990727 |
| AU 750313 | B2 | 20020718 | | |
| EP 1098641 | A1 | 20010516 | EP 1999-935949 | 19990727 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

PRIORITY APPLN. INFO.: US 1998-94286P P 19980727
WO 1999-US16940 W 19990727

AB Therapeutic pharmacol. agents and methods are disclosed for chemical induction of intracellular hyperthermia and/or free radicals for the diagnosis and treatment of infections, malignancy, and other medical conditions. A process and composition are provided for the diagnosis or killing of cancer cells and inactivation of susceptible bacterial, parasitic, fungal, and viral pathogens by chemical generating heat, and/or free radicals and/or hyperthermia-inducible immunogenic determinants by using mitochondrial uncoupling agents, especially 2,4-dinitrophenol, and their conjugates, either alone or in combination with other drugs, hormones, cytokines and radiation.

IT **54965-21-8**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(chemical induced intracellular hyperthermia for diagnostic and

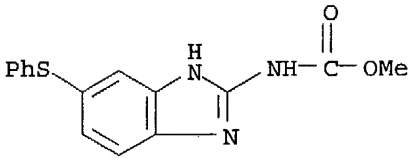
therapeutic use, and use with other agents)
RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 44 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:746647 HCAPLUS
DOCUMENT NUMBER: 132:117133
TITLE: Multiple lethal effects induced by a benzimidazole anthelmintic in the anterior intestine of the nematode Haemonchus contortus
AUTHOR(S): Jasmer, D. P.; Yao, C.; Rehman, A.; Johnson, S.
CORPORATE SOURCE: Department of Veterinary Microbiology and Pathology, Washington State University, Pullman, WA, USA
SOURCE: Molecular and Biochemical Parasitology (2000), 105(1), 81-90
CODEN: MBIPDP; ISSN: 0166-6851
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A mechanism of benzimidazole efficacy against parasitic nematodes is postulated to involve inhibition of intestinal secretory vesicle transport via depolymn. of microtubules. We show that fenbendazole (FBZ) treatment of lambs causes pathol. localized to the anterior intestine in the parasitic nematode Haemonchus contortus. The pathol. included gross disintegration of the anterior intestine, DNA fragmentation in anterior intestinal nuclei with characteristics of an **apoptosis**-like process, and inhibition of host erythrocyte digestion. These lethal effects were associated with inhibited transport of apical secretory vesicles in the anterior intestine, and then generalized dispersal of these vesicles-contents throughout the intestinal cytoplasm and worm body. Cytoplasmic accumulation of secretory vesicles and undigested erythrocytes preceded DNA fragmentation and vesicle-content dispersal. Both DNA fragmentation and vesicle-content dispersal were detected in disintegrated intestine and intestine that had not yet undergone disintegration. These pathol. effects in the anterior intestine appear sufficient to explain the efficacy of FBZ against adult H. contortus. The results implicate mechanisms in the anterior intestine that govern dispersal of apical secretory vesicle contents, DNA fragmentation and tissue disintegration as effectors of this pathol.
IT **43210-67-9**, Fenbendazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multiple lethal effects induced by fenbendazole anthelmintic in anterior intestine of Haemonchus contortus)
RN 43210-67-9 HCAPLUS

CN Carbamic acid, [5-(phenylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

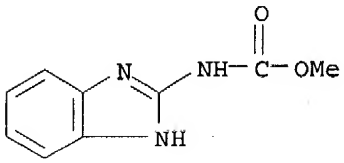


REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 45 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:468062 HCAPLUS
DOCUMENT NUMBER: 131:97627
TITLE: Benzimidazole derivative-containing pharmaceutical composition for inhibiting the growth of cancers and treating viral infections
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): Procter & Gamble Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5929099 | A | 19990727 | US 1996-680470 | 19960715 |

PRIORITY APPLN. INFO.: US 1996-680470 19960715
OTHER SOURCE(S): MARPAT 131:97627
AB A pharmaceutical composition that inhibits the growth of tumors and cancers in mammals and can be used to treat viral infections that comprises a fungicide in combination with chemotherapeutic agents is disclosed. The particular fungicide used is a benzimidazole derivative Potentiators can also be included in the composition
IT **10605-21-7**, Carbendazim
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole derivative-containing pharmaceutical composition for inhibiting the
growth of cancers and treating viral infections)
RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 46 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:764283 HCAPLUS
DOCUMENT NUMBER: 130:20597
TITLE: Benzimidazole-2-carbamates for the treatment of viral infections and cancer
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9851304 | A1 | 19981119 | WO 1997-US21565 | 19971126 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 6506783 | B1 | 20030114 | US 1997-857811 | 19970516 |
| AU 9874027 | A1 | 19981208 | AU 1998-74027 | 19971126 |
| AU 728690 | B2 | 20010118 | | |
| EP 956017 | A1 | 19991117 | EP 1997-949600 | 19971126 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| BR 9714634 | A | 20000523 | BR 1997-14634 | 19971126 |
| CN 1254282 | A | 20000524 | CN 1997-182190 | 19971126 |
| NZ 335159 | A | 20010928 | NZ 1997-335159 | 19971126 |
| JP 2001527523 | T2 | 20011225 | JP 1998-521930 | 19971126 |
| US 6077862 | A | 20000620 | US 1999-259969 | 19990301 |
| AU 763272 | B2 | 20030717 | AU 2001-37094 | 20010418 |

PRIORITY APPLN. INFO.: US 1997-857811 A 19970516
AU 1998-74027 A3 19971126
WO 1997-US21565 W 19971126

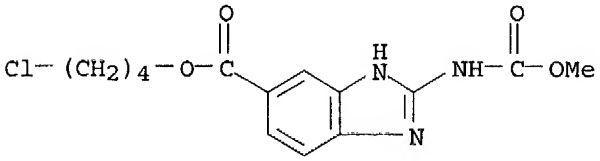
OTHER SOURCE(S): MARPAT 130:20597

AB A pharmaceutical composition that is effective in the treatment of HIV and other viral infections and inhibits growth of cancers and tumors in mammals comprises a benzimidazole derivative (I; R = H, CO2H, OH, NH2, CO2R1; R1 = alkoxy, haloalkyl, alkenyl, cycloalkyl), the pharmaceutically acceptable salts thereof, or mixts. thereof. I (R = H) inhibits the growth of B16 murine melanoma and HT29 human colon carcinoma cells with IC50 of 4.925 and 3.297 μM, resp.

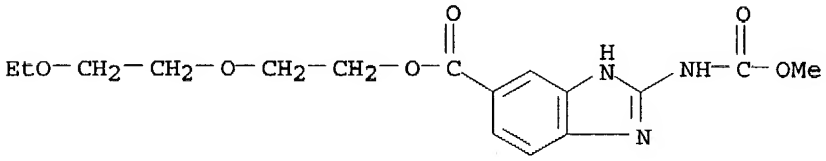
IT **216148-83-3 216148-85-5 216148-87-7**
**216148-88-8**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole-2-carbamates for treatment of cancer and viral infections)

RN 216148-83-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-,

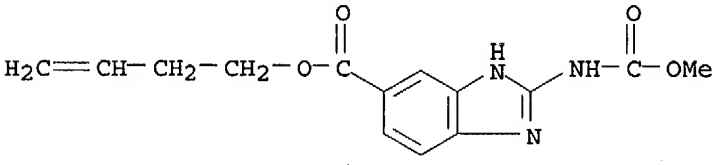
4-chlorobutyl ester (9CI) (CA INDEX NAME)



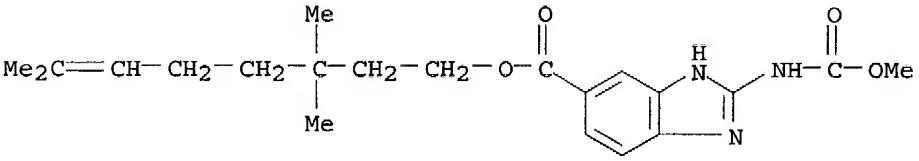
RN 216148-85-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



RN 216148-87-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester (9CI) (CA INDEX NAME)



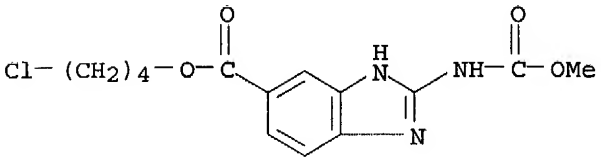
RN 216148-88-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester (9CI) (CA INDEX NAME)



IT **216148-91-3 216148-94-6 216148-95-7**
**216148-96-8 216148-98-0 216149-00-7**
**216149-02-9 216149-09-6 216149-10-9**
**216149-11-0 216149-13-2 216149-14-3**
**216149-16-5 216149-17-6 216149-18-7**
**216149-19-8 216149-20-1 216149-21-2**
**216149-22-3 216149-23-4 216149-27-8**
**216149-29-0 216149-31-4 216149-33-6**
**216149-35-8 216149-37-0 216149-43-8**

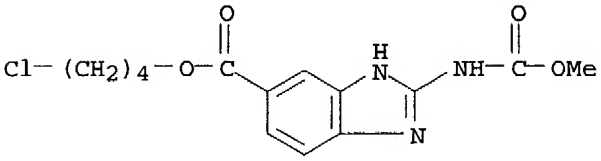
**216149-45-0 216149-47-2 216149-53-0**
**216149-56-3 216149-59-6 216149-62-1**
**216149-69-8 216149-72-3 216149-74-5**
**216149-77-8 216149-81-4 216149-84-7**
**216149-85-8 216149-87-0 216149-88-1**
**216149-91-6 216149-92-7 216149-95-0**
**216149-96-1 216149-98-3 216149-99-4**
**216150-01-5 216150-02-6 216150-03-7**
**216150-46-8**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazole-2-carbamates for treatment of cancer and viral infections)

RN 216148-91-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216148-94-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, monohydrobromide (9CI) (CA INDEX NAME)

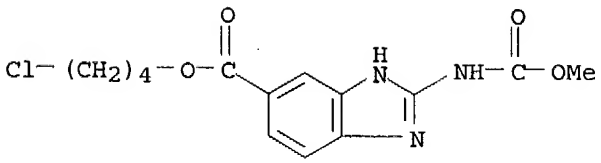


● HBr

RN 216148-95-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, sulfate (9CI) (CA INDEX NAME)

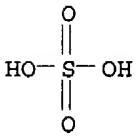
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

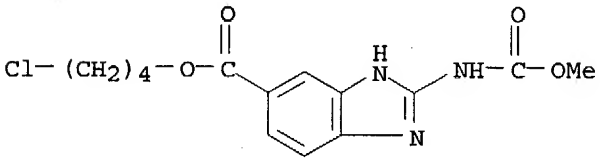
CRN 7664-93-9
CMF H2 O4 S



RN 216148-96-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, mononitrate (9CI) (CA INDEX NAME)

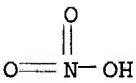
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

CRN 7697-37-2
CMF H N O3

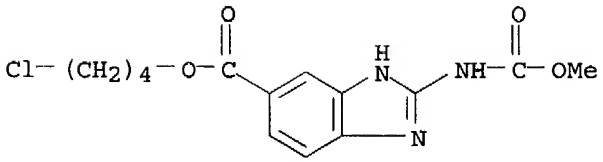


RN 216148-98-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, phosphate (9CI) (CA INDEX NAME)

CM 1

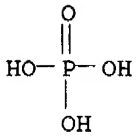
CRN 216148-83-3

CMF C14 H16 Cl N3 O4



CM 2

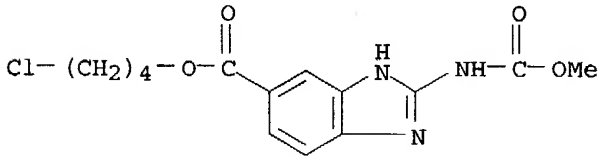
CRN 7664-38-2
CMF H3 O4 P



RN 216149-00-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, monoformate (9CI) (CA INDEX NAME)

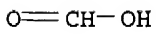
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

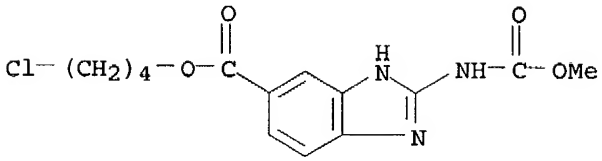
CRN 64-18-6
CMF C H2 O2



RN 216149-02-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

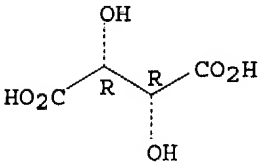
CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

CRN 87-69-4
CMF C4 H6 O6

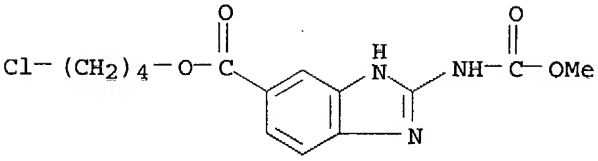
Absolute stereochemistry.



RN 216149-09-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

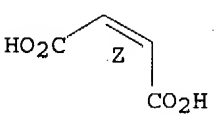
CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

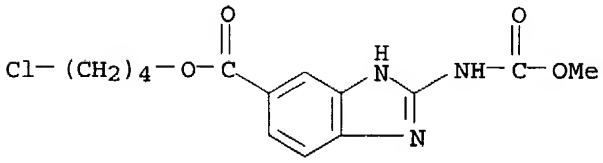
Double bond geometry as shown.



RN 216149-10-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

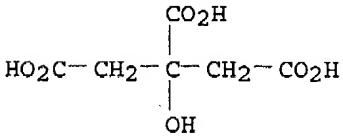
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

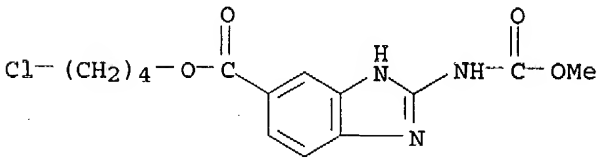
CRN 77-92-9
CMF C6 H8 O7



RN 216149-11-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, monobenzoate (9CI) (CA INDEX NAME)

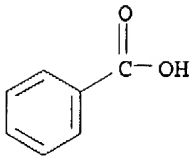
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

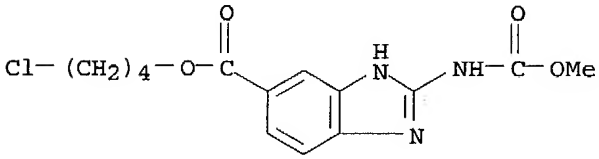
CRN 65-85-0
CMF C7 H6 O2



RN 216149-13-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 4-chlorobutyl ester, mono(2-hydroxybenzoate) (9CI) (CA INDEX NAME)

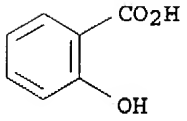
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

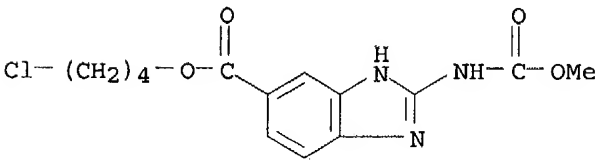
CRN 69-72-7
CMF C7 H6 O3



RN 216149-14-3 HCAPLUS
CN L-Ascorbic acid, compd. with 4-chlorobutyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

CM 1

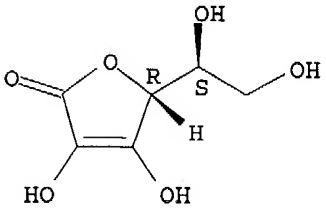
CRN 216148-83-3
CMF C14 H16 Cl N3 O4



CM 2

CRN 50-81-7
CMF C6 H8 O6

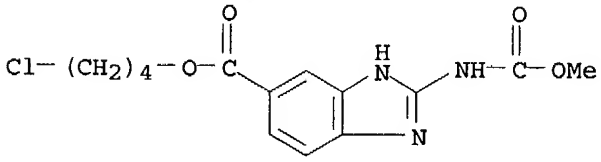
Absolute stereochemistry.



RN 216149-16-5 HCAPLUS
CN Butanedioic acid, hydroxy-, compd. with 4-chlorobutyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

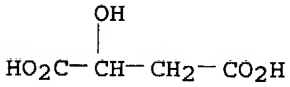
CM 1

CRN 216148-83-3
CMF C14 H16 Cl N3 O4

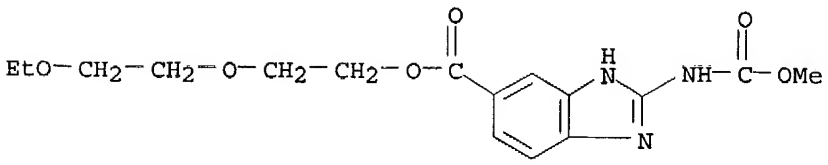


CM 2

CRN 6915-15-7
CMF C4 H6 O5

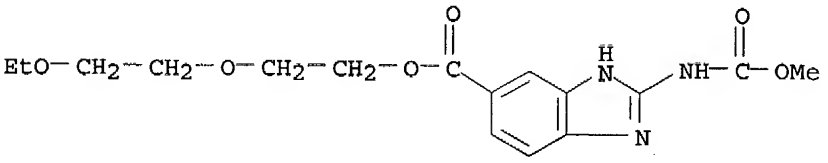


RN 216149-17-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216149-18-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)

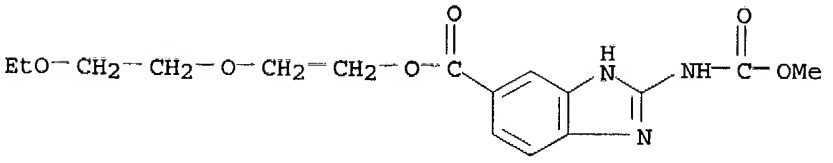


● HBr

RN 216149-19-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, sulfate (9CI) (CA INDEX NAME)

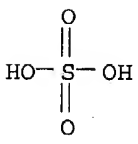
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

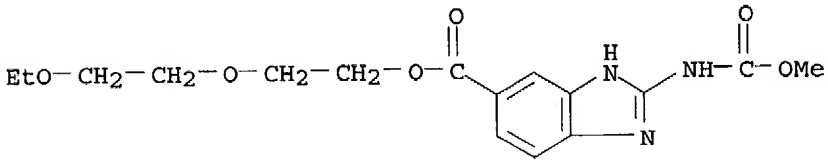
CRN 7664-93-9
CMF H2 O4 S



RN 216149-20-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, mononitrate (9CI) (CA INDEX NAME)

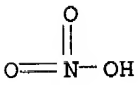
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

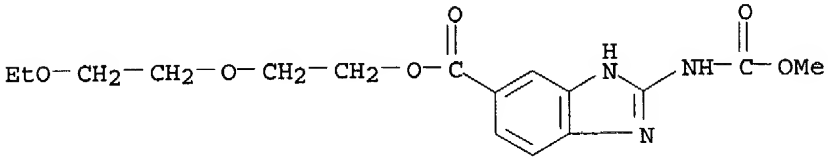
CRN 7697-37-2
CMF H N O3



RN 216149-21-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, phosphate (9CI) (CA INDEX NAME)

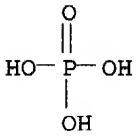
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

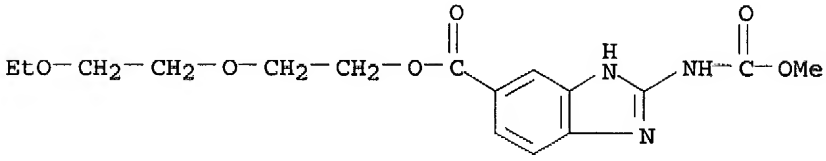
CRN 7664-38-2
CMF H3 O4 P



RN 216149-22-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, monoformate (9CI) (CA INDEX NAME)

CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



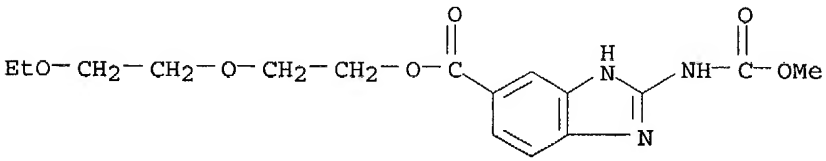
CM 2

CRN 64-18-6
CMF C H2 O2

RN 216149-23-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

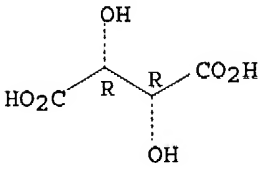
CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

CRN 87-69-4
CMF C4 H6 O6

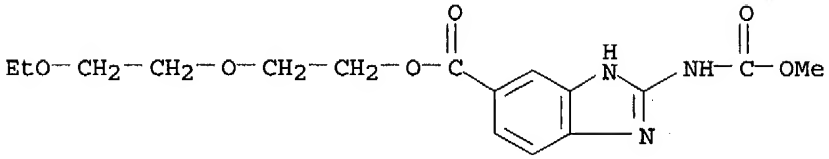
Absolute stereochemistry.



RN 216149-27-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

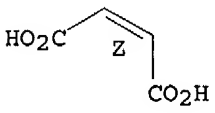
CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

CRN 110-16-7
CMF C4 H4 O4

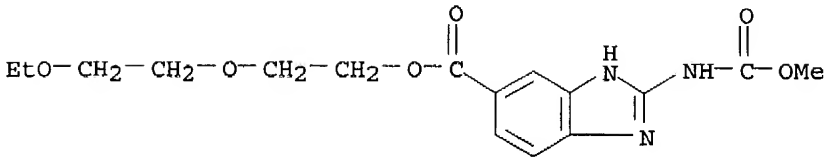
Double bond geometry as shown.



RN 216149-29-0 HCAPLUS
CN Butanedioic acid, hydroxy-, compd. with 2-(2-ethoxyethoxy)ethyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

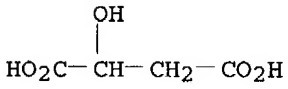
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

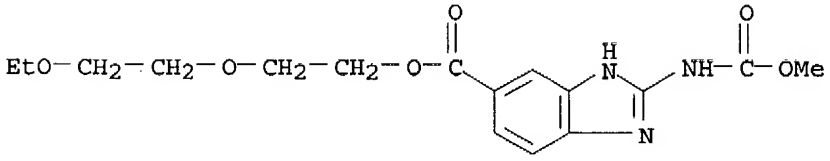
CRN 6915-15-7
CMF C4 H6 O5



RN 216149-31-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

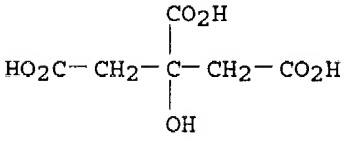
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

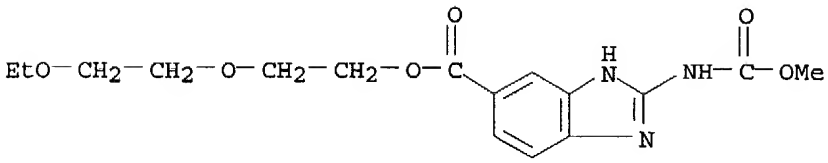
CRN 77-92-9
CMF C6 H8 O7



RN 216149-33-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, monobenzoate (9CI) (CA INDEX NAME)

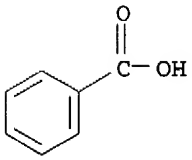
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

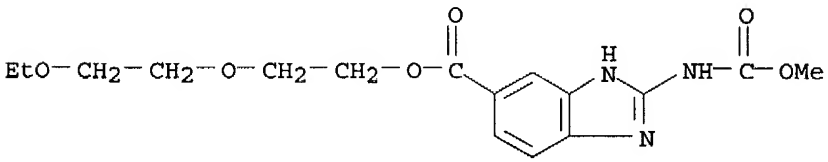
CRN 65-85-0
CMF C7 H6 O2



RN 216149-35-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 2-(2-ethoxyethoxy)ethyl ester, mono(2-hydroxybenzoate) (9CI) (CA INDEX NAME)

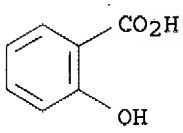
CM 1

CRN 216148-85-5
CMF C16 H21 N3 O6



CM 2

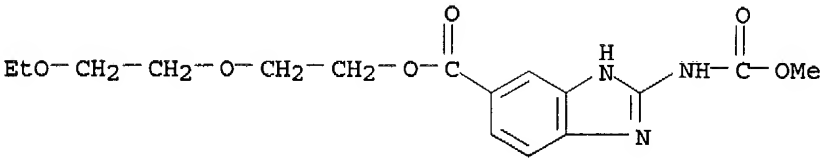
CRN 69-72-7
CMF C7 H6 O3



RN 216149-37-0 HCAPLUS
CN L-Ascorbic acid, compd. with 2-(2-ethoxyethoxy)ethyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

CM 1

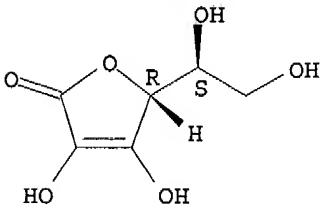
CRN 216148-85-5
CMF C16 H21 N3 O6



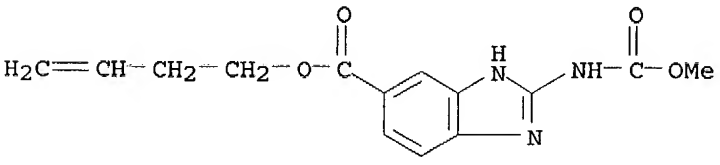
CM 2

CRN 50-81-7
CMF C6 H8 O6

Absolute stereochemistry.

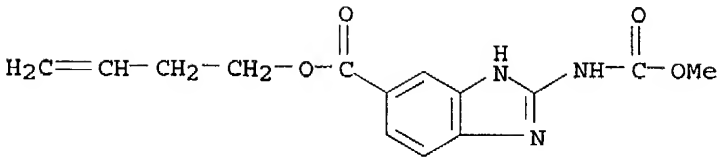


RN 216149-43-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216149-45-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, monohydrobromide (9CI) (CA INDEX NAME)

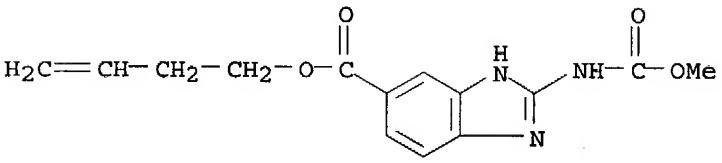


● HBr

RN 216149-47-2 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, sulfate (9CI) (CA INDEX NAME)

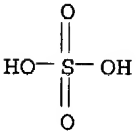
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

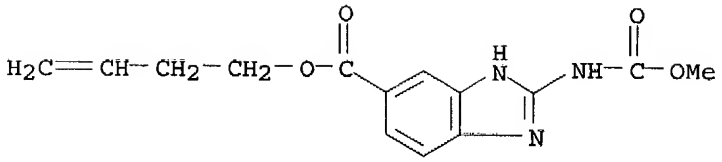
CRN 7664-93-9
CMF H2 O4 S



RN 216149-53-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, mononitrate (9CI) (CA INDEX NAME)

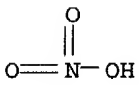
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

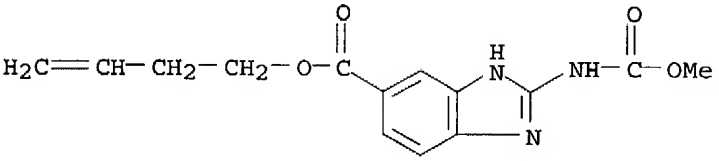
CRN 7697-37-2
CMF H N O3



RN 216149-56-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, phosphate (9CI) (CA INDEX NAME)

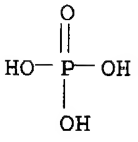
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

CRN 7664-38-2
CMF H3 O4 P

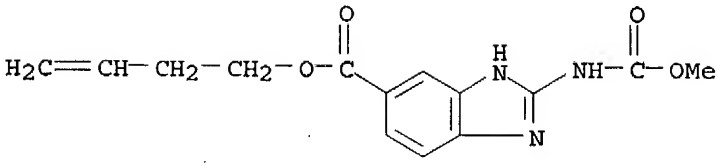


RN 216149-59-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, monoformate (9CI) (CA INDEX NAME)

CM 1

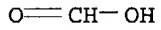
CRN 216148-87-7

CMF C14 H15 N3 O4



CM 2

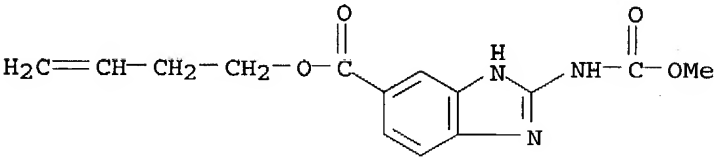
CRN 64-18-6
CMF C H2 O2



RN 216149-62-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

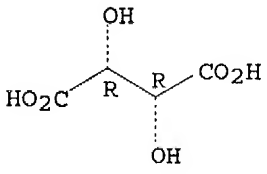
CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

CRN 87-69-4
CMF C4 H6 O6

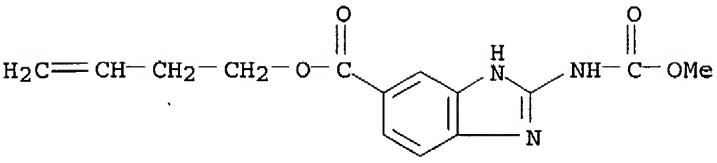
Absolute stereochemistry.



RN 216149-69-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

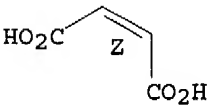
CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

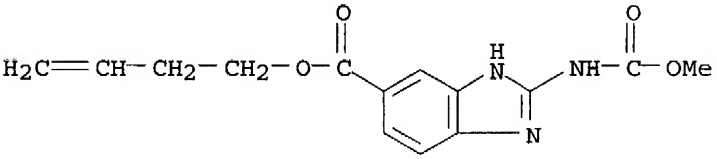
Double bond geometry as shown.



RN 216149-72-3 HCAPLUS
CN Butanedioic acid, hydroxy-, compd. with 3-butenyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

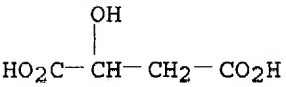
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

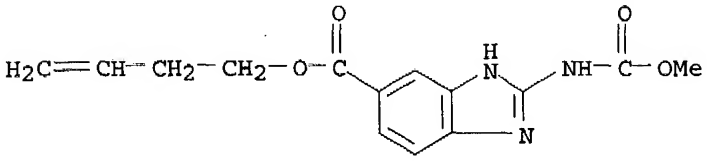
CRN 6915-15-7
CMF C4 H6 O5



RN 216149-74-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

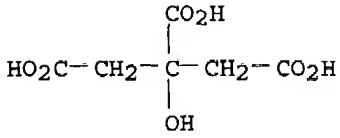
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

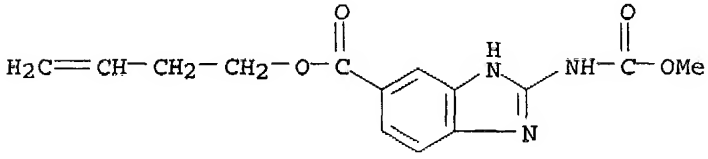
CRN 77-92-9
CMF C6 H8 O7



RN 216149-77-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, monobenzoate (9CI) (CA INDEX NAME)

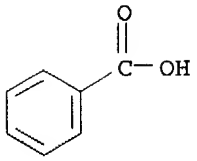
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

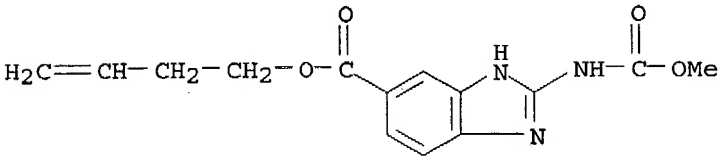
CRN 65-85-0
CMF C7 H6 O2



RN 216149-81-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3-butenyl ester, mono(2-hydroxybenzoate) (9CI) (CA INDEX NAME)

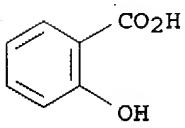
CM 1

CRN 216148-87-7
CMF C14 H15 N3 O4



CM 2

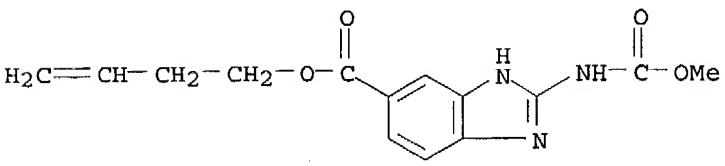
CRN 69-72-7
CMF C7 H6 O3



RN 216149-84-7 HCAPLUS
CN L-Ascorbic acid, compd. with 3-butenyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

CM 1

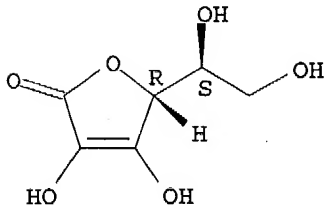
CRN 216148-87-7
CMF C14 H15 N3 O4



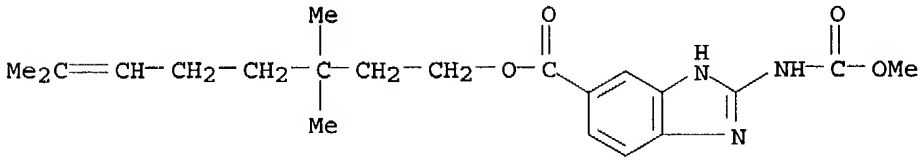
CM 2

CRN 50-81-7
CMF C6 H8 O6

Absolute stereochemistry.

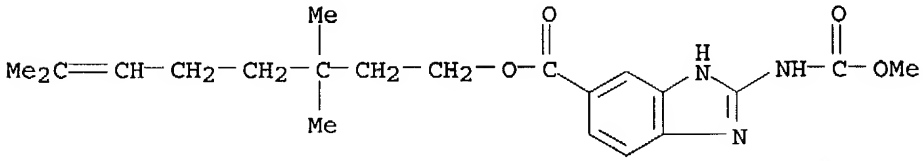


RN 216149-85-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216149-87-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, monohydrobromide (9CI) (CA INDEX NAME)

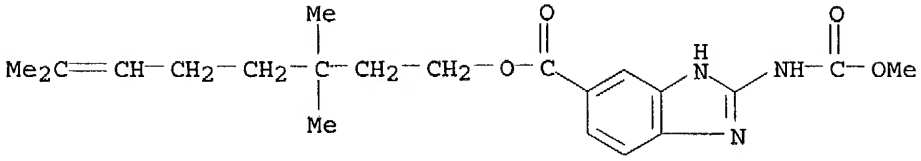


● HBr

RN 216149-88-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, sulfate (9CI) (CA INDEX NAME)

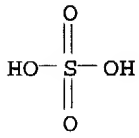
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

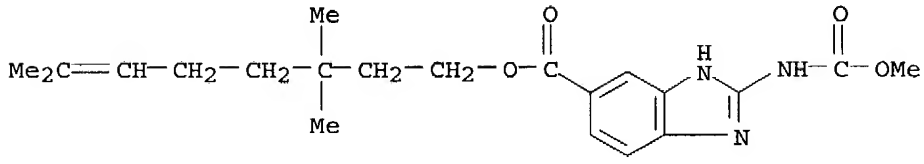
CRN 7664-93-9
CMF H2 O4 S



RN 216149-91-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, mononitrate (9CI) (CA INDEX NAME)

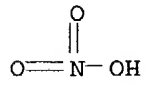
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

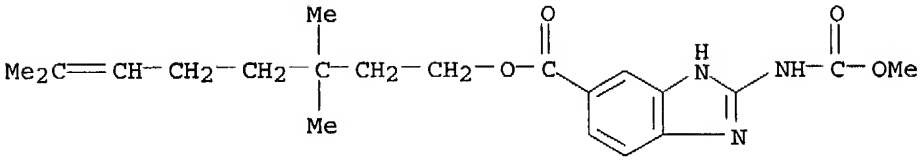
CRN 7697-37-2
CMF H N O3



RN 216149-92-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, phosphate (9CI) (CA INDEX NAME)

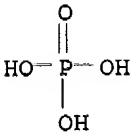
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

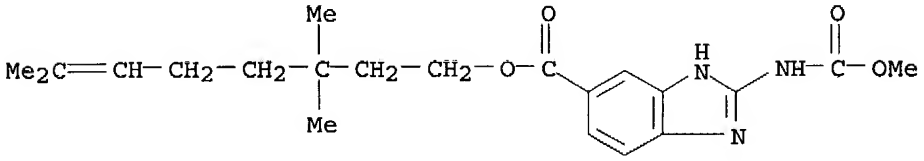
CRN 7664-38-2
CMF H3 O4 P



RN 216149-95-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, monoformate (9CI) (CA INDEX NAME)

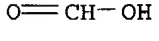
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

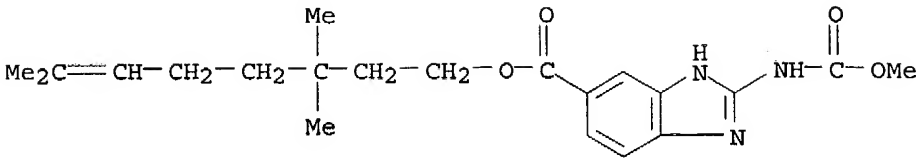
CRN 64-18-6
CMF C H2 O2



RN 216149-96-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

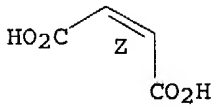
CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

CRN 110-16-7
CMF C4 H4 O4

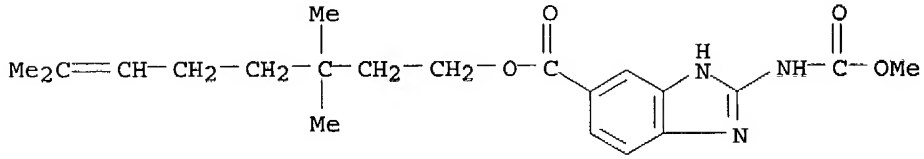
Double bond geometry as shown.



RN 216149-98-3 HCAPLUS
CN Butanedioic acid, hydroxy-, compd. with 3,3,7-trimethyl-6-octenyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

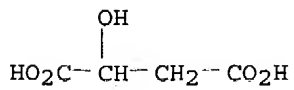
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

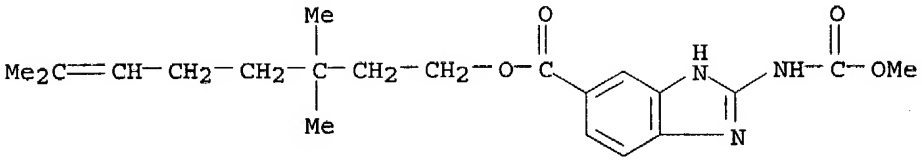
CRN 6915-15-7
CMF C4 H6 O5



RN 216149-99-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

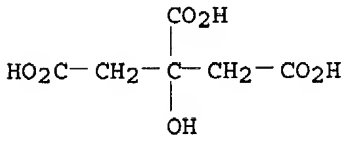
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

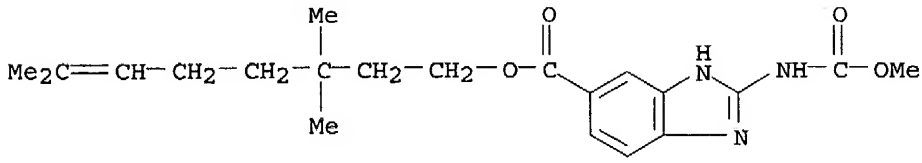
CRN 77-92-9
CMF C6 H8 O7



RN 216150-01-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, monobenzoate (9CI) (CA INDEX NAME)

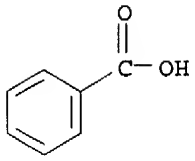
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

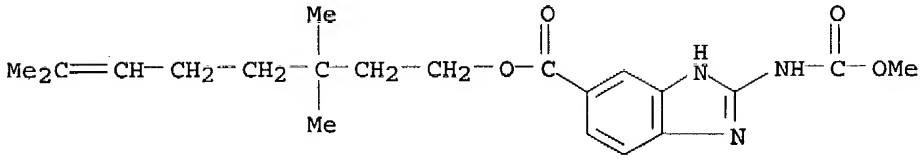
CRN 65-85-0
CMF C7 H6 O2



RN 216150-02-6 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, mono(2-hydroxybenzoate) (9CI) (CA INDEX NAME)

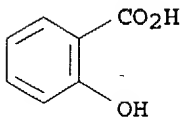
CM 1

CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

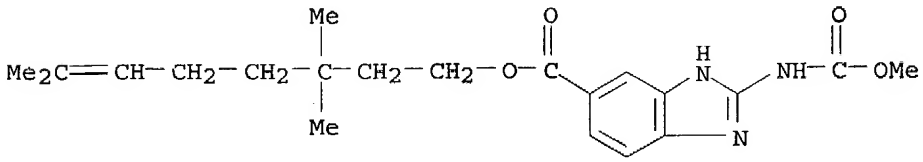
CRN 69-72-7
CMF C7 H6 O3



RN 216150-03-7 HCAPLUS
CN L-Ascorbic acid, compd. with 3,3,7-trimethyl-6-octenyl 2-[(methoxycarbonyl)amino]-1H-benzimidazole-5-carboxylate (9CI) (CA INDEX NAME)

CM 1

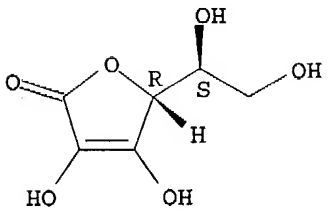
CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

CRN 50-81-7
CMF C6 H8 O6

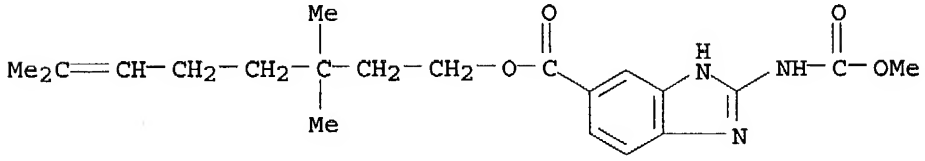
Absolute stereochemistry.



RN 216150-46-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[(methoxycarbonyl)amino]-, 3,3,7-trimethyl-6-octenyl ester, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

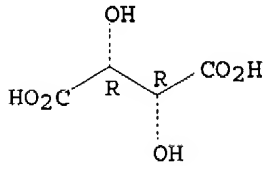
CRN 216148-88-8
CMF C21 H29 N3 O4



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:764282 HCAPLUS
DOCUMENT NUMBER: 130:20546

TITLE: HIV and cancer treatment
INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9851303 | A1 | 19981119 | WO 1997-US21564 | 19971126 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9709095 | A | 19980511 | ZA 1997-9095 | 19971010 |
| AU 9874029 | A1 | 19981208 | AU 1998-74029 | 19971126 |
| EP 954309 | A1 | 19991110 | EP 1997-949599 | 19971126 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| BR 9712981 | A | 20000418 | BR 1997-12981 | 19971126 |
| CN 1254281 | A | 20000524 | CN 1997-182189 | 19971126 |
| JP 2000510156 | T2 | 20000808 | JP 1998-522997 | 19971126 |
| NO 9901701 | A | 20000117 | NO 1999-1701 | 19990409 |
| KR 2000049064 | A | 20000725 | KR 1999-703137 | 19990410 |

PRIORITY APPLN. INFO.: US 1997-46726P P 19970516
WO 1997-US21564 W 19971126

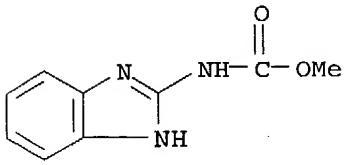
AB A method of treating HIV or other viral infections by administering a herbicide or fungicide or derivative thereof to an animal or human. The fungicides or herbicides can be used in conjunction with other treatments, e.g. with AZT or protease inhibitors for the treatment of HIV. For example, thiabendazole and chloropropham have been shown to quickly reduce the level of virus production from cell populations chronically infected with HIV-1 and the antiviral effect is maintained with continued compound exposure. This reduction of virus production occurs at concns. which are non toxic to the host cell and which have no effect on the syntheses of cellular DNA, RNA and protein. Further, chronically infected cells treated for prolonged periods of time with thiabendazole and chloropropham were not super-infected with HIV. A method for inhibiting the growth of tumors and cancers in mammals comprising administering a herbicidal or fungicidal derivative is also disclosed herein. The fungicides or herbicides can be used in conjunction with other treatments, e.g. taxol for the treatment of breast cancer. Potentiators can also be included in the herbicidal or fungicidal composition This method is particularly effective when the cancer or virus is an animal cell genetically modified by plant or fungus genetic material. A chemotherapeutic agent can also be administered first to significantly reduce the size of the cancer and then the treatment with the herbicide or fungicide is used. These methods are particularly effective when the cancer or virus is a mutated cell comprising plant or fungal genetic material.

IT **10605-21-7**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

(therapy of cancer and viral infections with drugs in combination with fungicides and herbicides)

RN 10605-21-7 HCAPLUS

CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 48 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:527207 HCAPLUS

DOCUMENT NUMBER: 129:144851

TITLE: Kit for inhibiting the growth of cancers, comprising a chemotherapeutic agent and a benzimidazole, and optionally a potentiator

INVENTOR(S): Camden, James Berger

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9832440 | A1 | 19980730 | WO 1998-US1147 | 19980121 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5900429 | A | 19990504 | US 1997-788482 | 19970128 |
| AU 9860343 | A1 | 19980818 | AU 1998-60343 | 19980121 |
| AU 729099 | B2 | 20010125 | | |
| EP 967977 | A1 | 20000105 | EP 1998-903620 | 19980121 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| BR 9807003 | A | 20000314 | BR 1998-7003 | 19980121 |
| JP 2001509164 | T2 | 20010710 | JP 1998-532110 | 19980121 |
| ZA 9800643 | A | 19980730 | ZA 1998-643 | 19980127 |
| US 6271217 | B1 | 20010807 | US 1998-218884 | 19981222 |
| NO 9903654 | A | 19990928 | NO 1999-3654 | 19990727 |
| US 6329355 | B1 | 20011211 | US 2000-552408 | 20000419 |
| US 2001053773 | A1 | 20011220 | US 2001-910982 | 20010723 |

PRIORITY APPLN. INFO.:
US 1997-788482 A 19970128
WO 1998-US1147 W 19980121
US 1998-218884 A3 19981222

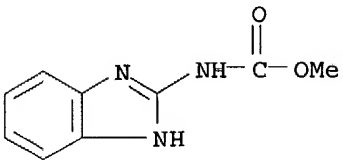
OTHER SOURCE(S): MARPAT 129:144851

AB A method for inhibiting the growth of tumors and cancers in mammals comprising administering a chemotherapeutic agent to significantly reduce the tumor in mass and then administering a benzimidazole derivative Potentiators can also be included in the benzimidazole composition An example is given showing the effectiveness of carbendazim in treatment of breast cancer.

IT **10605-21-7**, Carbendazime
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(kit for inhibiting cancer growth comprising a chemotherapeutic agent and a benzimidazole, and optionally a potentiator)

RN 10605-21-7 HCAPLUS

CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 49 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:293427 HCAPLUS
DOCUMENT NUMBER: 129:8597
TITLE: Embedding and encapsulation of controlled release particles
INVENTOR(S): Van Lengerich, Bernhard H.
PATENT ASSIGNEE(S): Van Lengerich, Bernhard H., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9818610 | A1 | 19980507 | WO 1997-US18984 | 19971027 |
| W: AU, CA, JP, NO, PL, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9749915 | A1 | 19980522 | AU 1997-49915 | 19971027 |
| AU 744156 | B2 | 20020214 | | |
| EP 935523 | A1 | 19990818 | EP 1997-912825 | 19971027 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2002511777 | T2 | 20020416 | JP 1998-520558 | 19971027 |
| EP 1342548 | A1 | 20030910 | EP 2003-10031 | 19971027 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| NO 9902036 | A | 19990428 | NO 1999-2036 | 19990428 |

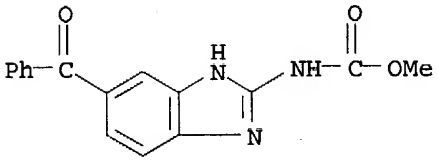
| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 1996-29038P | P | 19961028 |
| US 1997-52717P | P | 19970716 |
| EP 1997-912825 | A3 | 19971027 |
| WO 1997-US18984 | W | 19971027 |

AB Controlled release, discrete, solid particles which contain an

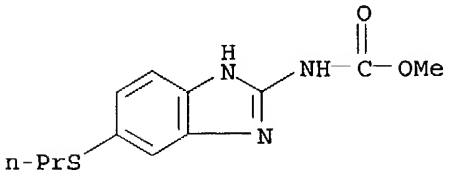
encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temperature of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixture The mixture is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, glycerol monostearate, and vegetable oil.

IT **31431-39-7**, Mebendazole **54965-21-8**, Albendazole
RL: PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(embedding and encapsulation of controlled release particles)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)




RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)




REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 50 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:127460 HCAPLUS
DOCUMENT NUMBER: 126:135624
TITLE: Use of benzimidazoles for the treatment of leukemia

INVENTOR(S): Camden, James Berger
PATENT ASSIGNEE(S): Procter and Gamble Company, USA
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

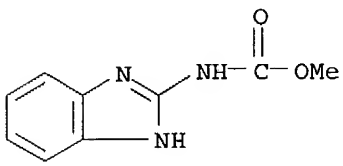
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9640122 | A1 | 19961219 | WO 1996-US7445 | 19960522 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| CA 2223435 | AA | 19961219 | CA 1996-2223435 | 19960522 |
| AU 9658020 | A1 | 19961230 | AU 1996-58020 | 19960522 |
| AU 717382 | B2 | 20000323 | | |
| EP 831816 | A1 | 19980401 | EP 1996-914749 | 19960522 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| CN 1186433 | A | 19980701 | CN 1996-194465 | 19960522 |
| JP 11506732 | T2 | 19990615 | JP 1996-500667 | 19960522 |
| BR 9608730 | A | 19990629 | BR 1996-8730 | 19960522 |
| ZA 9604373 | A | 19960902 | ZA 1996-4373 | 19960529 |
| NO 9705660 | A | 19980209 | NO 1997-5660 | 19971205 |

PRIORITY APPLN. INFO.: US 1995-473817 A 19950607
WO 1996-US7445 W 19960522
OTHER SOURCE(S): MARPAT 126:135624

AB A pharmaceutical composition for the treatment of leukemia in mammals is disclosed. The preferred compds. are 2-(4-thiazolyl)benzimidazole, Me 1-butylcarbamoyl-2-benzimidazolecarbamate, and 2-methoxycarbonylaminobenzimidazole.

IT **10605-21-7**, 2-Methoxycarbonylaminobenzimidazole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(benzimidazoles for treatment of leukemia)

RN 10605-21-7 HCAPLUS
CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)




L19 ANSWER 51 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:710662 HCAPLUS
DOCUMENT NUMBER: 125:317336
TITLE: Benzimidazoles for inhibiting the growth of cancers
INVENTOR(S): Samden, James Berger
PATENT ASSIGNEE(S): The Procter and Gamble Company, USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9632107 | A1 | 19961017 | WO 1996-US4955 | 19960411 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| NZ 305784 | A | 20010330 | NZ 1996-305784 | 19960401 |
| CA 2217952 | AA | 19961017 | CA 1996-2217952 | 19960411 |
| CA 2217952 | C | 20020625 | | |
| AU 9653897 | A1 | 19961030 | AU 1996-53897 | 19960411 |
| AU 714078 | B2 | 19991216 | | |
| ZA 9602879 | A | 19970317 | ZA 1996-2879 | 19960411 |
| EP 821586 | A1 | 19980204 | EP 1996-910803 | 19960411 |
| EP 821586 | B1 | 20030611 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| CN 1181010 | A | 19980506 | CN 1996-193251 | 19960411 |
| BR 9604974 | A | 19980609 | BR 1996-4974 | 19960411 |
| JP 11503459 | T2 | 19990326 | JP 1996-531152 | 19960411 |
| RU 2197964 | C2 | 20030210 | RU 1997-118668 | 19960411 |
| AT 242634 | E | 20030615 | AT 1996-910803 | 19960411 |
| TW 427887 | B | 20010401 | TW 1996-85105606 | 19960513 |
| NO 9704695 | A | 19971208 | NO 1997-4695 | 19971010 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1995-420914 | A | 19950412 |
| US 1995-473817 | A | 19950607 |
| US 1995-1837P | P | 19950803 |
| WO 1996-US4955 | W | 19960411 |

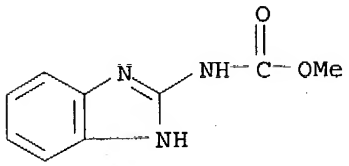
OTHER SOURCE(S): MARPAT 125:317336

AB A pharmaceutical composition containing antifungal benzimidazoles, e.g. 2-(4-thiazolyl)benzimidazole, Me 1-(butylcarbamoyl)-2-benzimidazole carbamate, and 2-methoxycarbonylaminobenzimidazole (I), in combination with a chemotherapeutic agent and a potentiator for the treatment of cancers and viral infections, is disclosed. Administration of I to mice infected with leukemia improved survival rate.

IT **10605-21-7**, Carbendazim
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(fungicidal benzimidazoles for treatment of cancers and viral infections)

RN 10605-21-7 HCAPLUS

CN Carbamic acid, 1H-benzimidazol-2-yl-, methyl ester (9CI) (CA INDEX NAME)

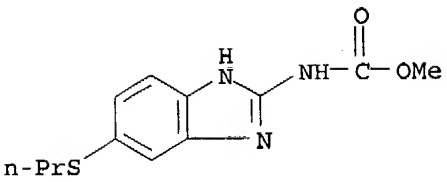


L19 ANSWER 52 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:138516 HCAPLUS
DOCUMENT NUMBER: 124:249927
TITLE: The chemotherapy of onchocerciasis XX: Ivermectin in combination with albendazole
AUTHOR(S): Awadzi, K.; Addy, E. T.; Opoku, N. O.; Plenge-Bonig, A.; Buttner, D. W.
CORPORATE SOURCE: Onchocerciasis Chemotherapy Research Centre, Hohoe, Ghana
SOURCE: Tropical Medicine and Parasitology (1995), 46(4), 213-20
CODEN: TMPAEY; ISSN: 0177-2392
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English

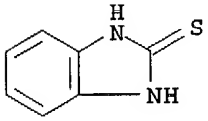
AB Ivermectin is a potent microfilaricide that also blocks microfilarial release while albendazole is toxic to all intrauterine stages. We investigated whether their combination would permanently sterilize the adult worms. In the first open phase, all 69 patients received 150 μg/kg of ivermectin. In the second double-blind phase one week later, 35 patients were randomized to receive 800 mg of albendazole with a fatty breakfast for three consecutive days while 34 patients received matching placebo tablets. Detailed clin. and laboratory examns. were done before treatment and were repeated at intervals over one year. Nodules were excised at three and six months. There was a rapid reduction in skin microfilariae, maximal at four weeks (99.9%). Counts increased subsequently and were between 11 and 18% of initial values at one year. Nodule histol. showed no macrofilaricidal activity of the combination. A high proportion of the stretched intrauterine microfilariae were degenerate in both groups. Anterior chamber microfilarial counts were unchanged until day 18 and then fell successively. Low levels persisted in several patients at one year. Dead corneal microfilariae and corneal punctate opacities increased initially, fell with time and then disappeared in most patients. Systemic and ocular reactions were mild to moderate and biochem. abnormalities were minor. A pronounced posttreatment eosinophilia subsided by day 30. There was no significant difference between the two groups in clin. and laboratory tolerance or in alterations in skin and ocular parasites and no important differences in the effect on the adult worms. The combination of ivermectin with albendazole given one week apart is well tolerated but produces no addnl. effect against Onchocerca volvulus when compared to ivermectin given alone.

IT **54965-21-8**, Albendazole
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(chemotherapy of onchocerciasis XX using ivermectin in combination with albendazole in humans)

RN 54965-21-8 HCAPLUS
CN Carbamic acid, [5-(propylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 53 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:845997 HCAPLUS
DOCUMENT NUMBER: 124:44734
TITLE: Antitumor and antimicrobial activities of Fe(II)/Fe(III) complexes derived from some heterocyclic compounds
AUTHOR(S): Mishra, Lallan; Said, Mustafa Kamil; Itokawa, Hideji; Takeya, Koichi
CORPORATE SOURCE: Department of Chemistry, Banaras Hindu University, Vanarasi, 221 005, India
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(9), 1241-5
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The antitumor activities of some Fe(II)/Fe(III) complexes containing 1,3-diacetyl-2H-benzimidazole-2-thione along with a few derivs. of 1,2,4-triazole, 1,3,4-oxadiazole and 1,3,4-thiadiazole as coligands have been investigated. Antibacterial and antifungal activities of disulfido-/dichloro-bridges dinuclear Fe(III)/Fe(II) complexes containing similar heterocycles as terminal ligands have also been investigated.
IT **583-39-1D**, complex with iron and 1,3-diacetyl-2H-benzimidazole-2-thione
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(antitumor and antimicrobial activities of Fe(II)/Fe(III) complexes with heterocyclic compds.)
RN 583-39-1 HCAPLUS
CN 2H-Benzimidazole-2-thione, 1,3-dihydro- (9CI) (CA INDEX NAME)



L19 ANSWER 54 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:835448 HCAPLUS
DOCUMENT NUMBER: 123:305978
TITLE: Dual pharmacological activities "in vivo" (trypanocidal and antitumor) and toxicity displayed by the new neutral and octahedral ruthenium(II) complexes
AUTHOR(S): Craciunescu, D. G.; Guiterrez Rios, M. T.; Doadrio-Villarejo, J. C.; De Frutos, M. I.; Alonso, M. P.; Doadrio-Villarejo, A.; Parrondo Iglesias, E.; Molina, C.; Lorenzo-Molina, C.; et al.

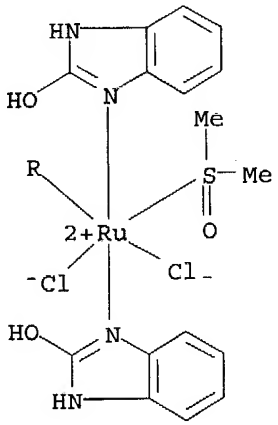
CORPORATE SOURCE: Fac. Farmacia, Univ. Complutense de Madrid, Madrid, 28048, Spain
SOURCE: Anales de la Real Academia de Farmacia (1995), 61(1), 103-37
CODEN: ARAFAY; ISSN: 0034-0618
PUBLISHER: Real Academia de Farmacia
DOCUMENT TYPE: Journal
LANGUAGE: Spanish

AB The synthesis and physico-chemical characterization of 24 new neutral and octahedral ruthenium(II) complexes is reported. The complexes belong to the following structure families: Neutral and octahedral Ru(II) complexes [RuII(Cl)2(DMSO)2(L)2]0 where L = imidazole derivs. (e.g. classical antifungal agents, classical trypanocidal drugs), amino quinoline and amino acridine derivs. (classical antimalarial drugs). Neutral and dinuclear Ru(II) complexes, [RuII2(Cl)4(DMSO)4(L)]0 where L = classical aromatic diamidines (trypanocidal drugs e.g. "Pentamidine", "Stilbamidine","2-Hidroxstilbamidine", "Hexamidine", "Berenile"). The new Ru(II) complexes were assayed against rats bearing the following established liquid tumors: Ehrlich ascitic, Landschutz ascitic, leukemic P 338 tumors. They were also assayed against rats infected with T brucei brucei, T rhodesiense, T cruzi (epimastigotes). The toxicities displayed by the administration of the 1/2 LD50 of each complex, were monitored (at 192 h) in the blood of the rats, taking into account the following parameters; %mg urea, %mg creatinine, enzymic levels "GOT", "SGOT" and the ratio L/N (L = Lymphocytes, N = Neutrophils). An Electronic Microscopy examination was performed (at 48 h) of the T. rhodesiense parasites treated "in vitro" with 1-10 μg/mL of the most active complex, that is [RuII(Cl)2(DMSO)2(L)2]0 where L = 2-Hidroxybenzimidazole, as well as the M.O. Hueckel calcns. for the imidazole derivs. ligands, in order to draw structure-activity relationships.

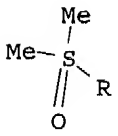
IT **170130-49-1 170130-52-6**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure in relation to antitumor and trypanocide activities of ruthenium complexes)

RN 170130-49-1 HCAPLUS
CN Ruthenium, dichlorobis(1,3-dihydro-2H-benzimidazol-2-one-N1)bis[sulfinylbis[methane]-S]- (9CI) (CA INDEX NAME)

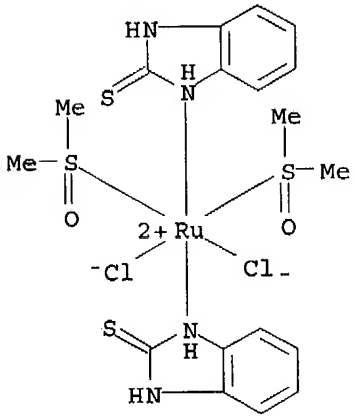
PAGE 1-A



PAGE 2-A



RN 170130-52-6 HCAPLUS
CN Ruthenium, dichlorobis(1,3-dihydro-2H-benzimidazole-2-thione-N1)bis[sulfinylbis[methane]-S]- (9CI) (CA INDEX NAME)

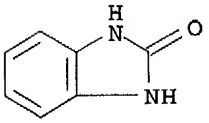


L19 ANSWER 55 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:168488 HCAPLUS
DOCUMENT NUMBER: 106:168488
TITLE: Identification of 2-benzimidazolylurea as a new antimitotic compound based on cross resistance studies with nocodazole resistant mutants of CHO cells
AUTHOR(S): Gupta, Radhey S.
CORPORATE SOURCE: Dep. Biochem., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: Biochemical and Biophysical Research Communications (1987), 143(1), 225-32
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The cross-resistance patterns of a set of nocodazole [31430-18-9]-resistant (NocR) and podophyllotoxin [518-28-5]-resistant (PodR) mutants of Chinese hamster ovary cells, which exhibit highly-specific cross-resistance toward compds. that show nocodazole-like antimitotic activity, towards a large number of benzimidazole derivs. was examined Of the various compds. examined, the NocR and the PodR mutants were found to exhibit increased cross-resistance towards only 2-benzimidazolylurea [24370-25-0], indicating that this compound may possess similar biol. activity as nocodazole. The nocodazole-like antimitotic activity of 2-benzimidazolylurea was confirmed by its ability to block cells in mitosis, and by its competition of [3H]podophyllotoxin binding to microtubule proteins in cell exts. The nocodazole-like behavior of 2-benzimidazolylurea and lack of similar activity in other benzimidazole

derivs. examined, provides valuable information regarding structural features that are required for this type of biol. activity.

IT **615-16-7**, 2-Hydroxybenzimidazole
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)
(antimitotic activity of, in nicodazole- and podophyllotoxin-resistant cells)

RN 615-16-7 HCAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro- (9CI) (CA INDEX NAME)

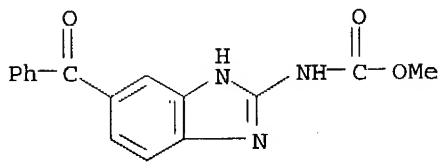


L19 ANSWER 56 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:28375 HCAPLUS
DOCUMENT NUMBER: 104:28375
TITLE: Activity of benzimidazole carbamates against L1210 mouse leukemia cells: correlation with in vitro tubulin polymerization assay
AUTHOR(S): Lacey, Ernest; Watson, Thomas R.
CORPORATE SOURCE: Pharm. Dep., Sydney Univ., Sydney, 2006, Australia
SOURCE: Biochemical Pharmacology (1985), 34(19), 3603-5
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The inhibitory activity of 21 benzimidazole carbamates I [R = OMe, OEt, OPh, etc. and R1 = Me or CH(Me)2] on sheep brain microtubule polymerization (IC50) and L1210 leukemia cells (ID50) was studied. The ID50s were an order of magnitude lower than the corresponding IC50s. Structure-activity relations are discussed. The high colinearity between the L1210 assay and the tubulin polymerization assay indicates that the primary mode of action of I in actively dividing cells is via inhibition of the polymerization of tubulin.

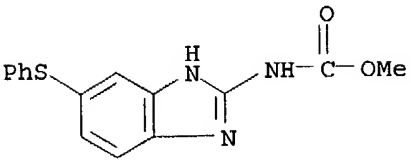
IT **31431-39-7 43210-67-9 53716-50-0 56300-74-4**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(antitumor activity of, tubulin polymerization inhibition and structure in relation to)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)

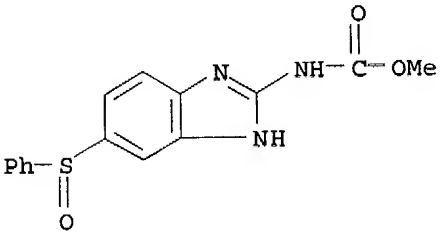


RN 43210-67-9 HCAPLUS

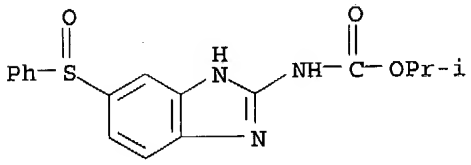
CN Carbamic acid, [5-(phenylthio)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 53716-50-0 HCAPLUS
CN Carbamic acid, [5-(phenylsulfinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 56300-74-4 HCAPLUS
CN Carbamic acid, [5-(phenylsulfinyl)-1H-benzimidazol-2-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

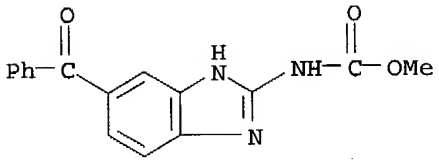


L19 ANSWER 57 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:571465 HCAPLUS
DOCUMENT NUMBER: 103:171465
TITLE: Computer-assisted structure-anticancer activity correlations of carbamates and thiocarbamates
AUTHOR(S): Nasr, Mohamed; Paull, Kenneth D.; Narayanan, V. L.
CORPORATE SOURCE: Starks C. P., Rockville, MD, 20852, USA
SOURCE: Journal of Pharmaceutical Sciences (1985), 74(8), 831-6
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB With the aid of the computer, .apprx.8000 compds. that incorporate a carbamate or thiocarbamate moiety, which have been tested as potential anticancer agents at the National Cancer Institute (NCI), were classified and their structure-activity correlations against the in vivo P-388 and L-1210 leukemias were evaluated. Aromatic carbamates and thiocarbamates had good activity against P-388 and poor activity against L-1210. The

majority of active compds. in this series of aromatic carbamates possessed a 2- or 4-heteroatom-substituted Ph attached to the carbamate O atom or the thiocarbamate S atom with the carbamate N atom as NHMe. The N-Ph carbamates were much less active against P-388 than the Ph carbamates; only bis-N-Ph carbamates with a methylene bridge between the 2 Ph groups showed good activity against both P-388 and L-1210 leukemias. Except for the mycophenolic acid carbamates, the fused Ph carbamates showed poor activity against both P-388 and L-1210 leukemias. Certain N-heterocyclic carbamates and carbamates with heteroatom substituents were selected by the NCI for development toward clin. trials. The nature of the heterocyclic carrier and the position of attachment to the carbamate moiety have a major role on the mode of action of the antitumor activity of these compds.

IT **31431-39-7**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(neoplasm-inhibiting activity of, structure in relation to)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 58 OF 58 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:470988 HCAPLUS
DOCUMENT NUMBER: 89:70988
TITLE: Antimitotic properties of certain embryotoxic anthelmintics and teratogens derived from benzimidazole
AUTHOR(S): Lapras, M.; Delatour, P.
CORPORATE SOURCE: Ec. Natl. Vet., Lyon, Fr.
SOURCE: Proceedings of the European Society of Toxicology (1977), 18(Clin. Toxicol.), 294-6
CODEN: PESTD5; ISSN: 0166-6169
DOCUMENT TYPE: Journal
LANGUAGE: French

AB Parbendazole (I) [14255-87-9], cambendazole [26097-80-3], and mebendazole [31431-39-7] exhibited antimitotic and antitumor activity in various in vivo and in vitro exptl. prepns. I appeared to have the best activity/tolerance ratio.

IT **31431-39-7**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)
(antimitotic and antitumor activity of)

RN 31431-39-7 HCAPLUS
CN Carbamic acid, (5-benzoyl-1H-benzimidazol-2-yl)-, methyl ester (9CI) (CA INDEX NAME)